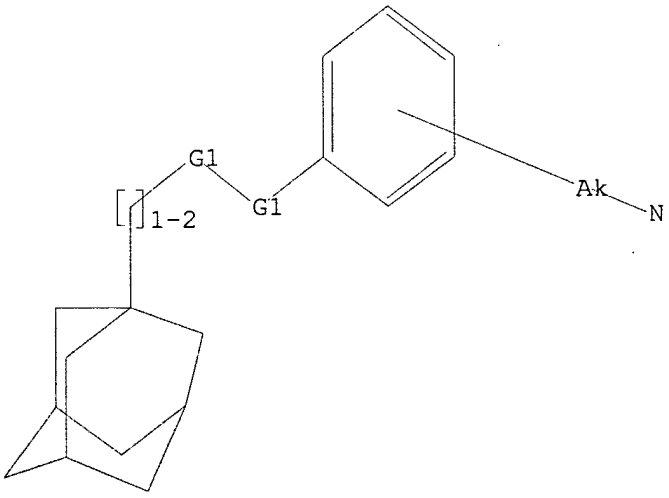
1 12 15 24 25
ring nodes :
2 3 4 5 6 7 8 9 10 11 16 17 18 19 20 21
chain bonds :
1-2 1-12 12-15 15-16 24-25
ring bonds :
2-3 2-4 2-10 3-5 4-6 5-7 5-8 6-7 6-11 8-9 9-10 9-11 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
1-12 2-3 2-4 2-10 3-5 4-6 5-7 5-8 6-7 6-11 8-9 9-10 9-11 12-15 15-16 24-25
exact bonds :
1-2
normalized bonds :
16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 16 :

G1:C,N

Match level :
1:CLASS 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 24:CLASS 25:CLASS 26:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

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SAMPLE SEARCH INITIATED 18:08:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     6156 TO ITERATE

 32.5% PROCESSED     2000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       118416 TO   127824
PROJECTED ANSWERS:               2 TO      271

L2            2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 18:08:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   123836 TO ITERATE

100.0% PROCESSED   123836 ITERATIONS                               229 ANSWERS
SEARCH TIME: 00.00.02

L3          229 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     166.94     167.15

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FILE LAST UPDATED: 23 Jan 2006  (20060123/ED)

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=> s l3
L4            29 L3

=> d ibib abs hitstr 1-29
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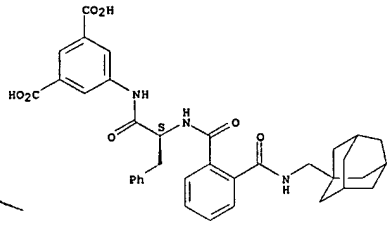
L4 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1086030 CAPLUS
DOCUMENT NUMBER: 144:166
TITLE: Scaffold Hopping with Molecular Field Points: Identification of a Cholecystokinin-2 (CCK2) Receptor Pharmacophore and Its Use in the Design of a Prototypical Series of Pyrrole- and Imidazole-Based CCK2 Antagonists
AUTHOR(S): Low, Caroline M. R.; Buck, Ildiko M.; Cooke, Tracey; Cushnir, Julia R.; Kalindjian, S. Barret; Kotecha, Atul; Pether, Michael J.; Shankley, Nigel P.; Vinter, J. G.; Wright, Laurence
CORPORATE SOURCE: James Black Foundation, London, SE24 9JE, UK
SOURCE: Journal of Medicinal Chemistry (2005), 48(22), 6790-6802
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new mol. modeling approach has been used to derive a pharmacophore of the potent and selective cholecystokinin-2 (CCK2) receptor antagonist 5 (JB93182), based on features shared with two related series. The technique uses "field points" as simple and effective descriptions of the electrostatic and van der Waals maxima and min. surrounding a mol. equipped with XED (extended electron distribution) charges. Problems associated with the high levels of biliary elimination of 5 in vivo required us to design a compound with significantly lower mol. weight without sacrificing its nanomolar levels of in vitro activity. Two new series of compds. were designed to mimic the arrangement of field points present in the pharmacophore rather than its structural elements. In a formal sense, two of the three amides in 5 were replaced with either a simple pyrrole or imidazole, while some features thought to be essential for the high levels of in vitro activity of the parent compds. were retained and others deleted. These compds. maintained activity and selectivity for this receptor over CCK1. In addition, the reduction in mol. weight coupled with lower polarities greatly reduced levels of biliary elimination associated with 5. This makes them good lead compds. for development of drug candidates whose structures are not obviously related to those of the parents and represents the first example of scaffold hopping using mol. field points.

IT 174604-01-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Cholecystokinin-2 Receptor Pharmacophore and its Use in the Design of a Prototypical Series of CCK2 Antagonists)
RN 174604-01-4 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(2S)-1-oxo-3-phenyl-2-[[2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

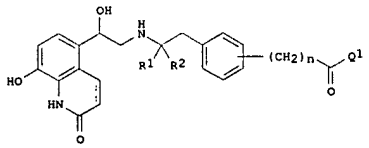
L4 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1075776 CAPLUS
DOCUMENT NUMBER: 143:347063
TITLE: Preparation of quinolinone derivatives as β2 adrenoceptor agonists
INVENTOR(S): Brown, Alan Daniel; Glossop, Paul Alan; Lane, Charlotte Alice Louise
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092861	A1	20051006	WO 2005-IB536	20050301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1574501	A1	20050914	EP 2004-290667	20040311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: EP 2004-290667 A 20040311
US 2004-591791P P 20040727

OTHER SOURCE(S): MARPAT 143:347063
GI

L4 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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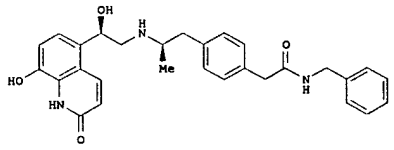


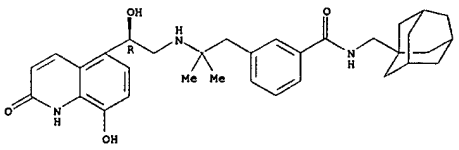
II

AB Title compds. I [(CH2)n-C(O)Q1 group is in meta or para position; R1 and R2 independently = H or alkyl; n = 0-2; Q1 = substituted benzofused nitrogen heterocycle, NR3cycloalkyl or NR3-Q2-A; R3 = H or alkyl; A = pyridyl, cycloalkyl, adamantyl, etc.; Q2 = alkylene) and their pharmaceutically acceptable salts, are prepared and disclosed as β2 adrenoceptor agonists. Thus, e.g., II was prepared by amidation of [4-((2R)-2-{[(2R)-2-(tert-butyldimethylsilyloxy)-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino}propyl)phenyl]acetic acid (preparation given) with benzylamine and subsequent deprotections. The activity of I was evaluated using cAMP-Flashplate assay with CHO cells and it was found that compds. of the invention possessed β2 cAMP EC50 values below 5 nM. I as agonist of β2 adrenoceptors should prove useful in the treatment of respiratory disease such as but not limited to asthma, bronchitis and chronic obstructive pulmonary disease. Pharmaceutical compns. comprising I are disclosed.

IT 865874-44-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinone derivs. as β2 adrenoceptor agonists)
RN 865874-44-8 CAPLUS
CN Benzamide, 3-[2-[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-2-methylpropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

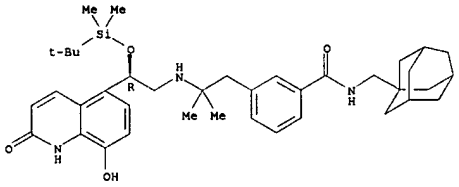
Absolute stereochemistry.

L4 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT **865874-64-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinone derivs. as β2 adrenoceptor agonists)
RN 865874-64-2 CAPLUS
CN Benzamide, 3-[2-[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]-2-methylpropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1042205 CAPLUS
DOCUMENT NUMBER: 143:346908
TITLE: Preparation of phenol derivatives as β2 androgen receptor agonists
INVENTOR(S): Brown, Alan Daniel; Bunnage, Mark Edward; Glossop, Paul Alan; James, Kim; Lane, Charlotte Alice Louise; Lewthwaite, Russell Andrew; Lunn, Graham; Price, David
Anthony
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090287	A2	20050929	WO 2005-IB640	20050310
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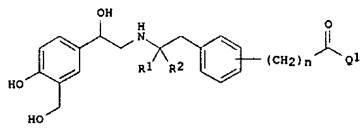
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1577291	A1	20050921	EP 2004-290725	20040317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: EP 2004-290725 A 20040317
US 2004-591790P P 20040727
GB 2004-25064 A 20041112

OTHER SOURCE(S): MARPAT 143:346908
GI

L4 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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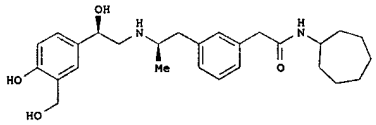


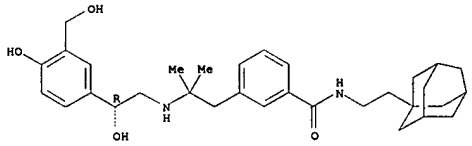
II

AB Title compds. I [(CH2)n-C(O)Q1 is meta or para; R1 and R2 independently = H or alkyl; n = 0-2; Q1 = mono- or disubstituted amine) and their pharmaceutically acceptable salts, are prepared and disclosed as agonists of β2 androgen receptor. Thus, e.g., II was prepared by amidation of (3-{(2R)-2-[(2R)-2-[[tert-butyl(dimethyl)silyl]oxy]-2-(4-hydroxy-3-hydroxymethyl-phenyl)-ethylamino]-propyl}-phenyl)-acetic acid (preparation given) with cycloheptylamine followed by deprotection. The agonist potency of I for the β2 androgen receptor was evaluated using CHO cells and it was found that selected compds. of the invention possessed EC50 values in the range of 0.064 up to 0.874 nM. I as β2 androgen receptor agonist should prove useful in the treatment of asthma, bronchitis and chronic obstructive pulmonary disease. Pharmaceutical compns. comprising I are disclosed.

IT **865810-49-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol derivs. as β2 androgen receptor agonists)
RN 865810-49-7 CAPLUS
CN Benzamide, 3-[2-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-methylpropyl]-N-(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)- (9CI) (CA INDEX NAME)

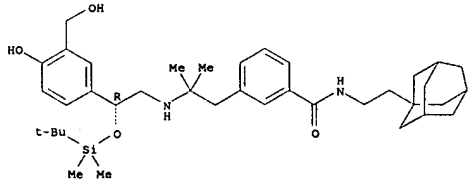
Absolute stereochemistry.

L4 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT **865811-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenol derivs. as β2 androgen receptor agonists)
RN 865811-06-9 CAPLUS
CN Benzamide, 3-[2-[[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-methylpropyl]-N-(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:395092 CAPLUS
DOCUMENT NUMBER: 142:447206
TITLE: N-(Thiazol-2-yl)-benzamide derivatives as adenosine 2A
(A2a) receptor ligands: preparation, pharmaceutical compositions and uses for treating such as Parkinson's
disease
INVENTOR(S): Sams, Anette Graven; Larsen, Mogens; Mikkelsen, Gitte
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

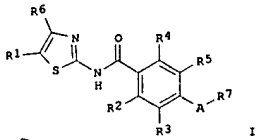
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039572	A1	20050506	WO 2004-DK733	20041025

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2003-1579 A 20031027
DK 2004-229 A 20040213

OTHER SOURCE(S): MARPAT 142:447206
GI

L4 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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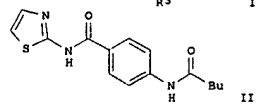
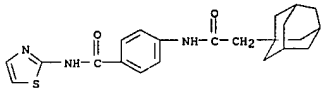


II

AB The invention relates to title compds. I [wherein R1, R6 = H, alkyl or halo; R2-R5 = H, halo, cyano, OH, alkyl, etc.; R7 = (cycloalkyl), (hetero)aryl, etc.; A = (un)substituted carbamoyl, amido, etc.; with some limitations, and pharmaceutically acceptable addition salts thereof] were prepared as adenosine 2A (A2a) receptor ligands. For instance, HATU-mediated coupling of butanoic acid with 4-amino-N-(thiazol-2-yl)benzamide (preparation given)in DMF in the presence of DIPEA at rt gave II.
Exemplified compds. including II were found to be A2a receptor antagonists
with Ki values of 530 nM or less in a binding assay. Therefore, I and their pharmaceutical compns. are useful in the treatment of neurol. and psychiatric disorders where A2a receptors are implicated, such as Parkinson's disease.
IT **851200-91-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ligand; preparation of thiazolylbenzamides as adenosine 2A receptor ligands)
RN 851200-91-4 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-acetamide, N-[4-[(2-thiazolylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:259880 CAPLUS
DOCUMENT NUMBER: 142:309890
TITLE: A pharmaceutical composition comprising a P2X7 receptor antagonist and a nonsteroidal antiinflammatory drug.
INVENTOR(S): Boughton-Smith, Nigel; Cruwys, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

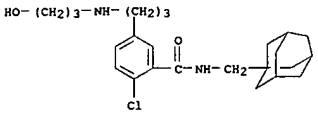
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005025571	A1	20050324	WO 2004-SE1334	20040915

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

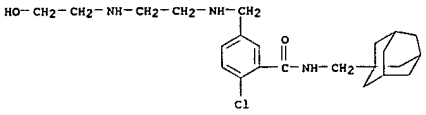
PRIORITY APPLN. INFO.: SE 2003-2488 A 20030918

OTHER SOURCE(S): MARPAT 142:309890
AB The invention provides a pharmaceutical composition, pharmaceutical product, or
kit comprising a first active ingredient which is a P2X7 receptor antagonist, and a second active ingredient which is a nonsteroidal antiinflammatory drug, for use in the treatment of inflammatory disorders.
Preparation of P2X7 antagonist N-[2-methyl-5-(9-oxa-3,7-diazabicyclo[3.3.1]non-3-ylcarbonyl)phenyl]tricyclo[3.3.1.13,7]decane-1-acetamide hydrochloride is described.
IT **345304-65-6 736919-50-9 748132-92-5**
848124-55-0 848124-56-1 848124-57-2
848124-75-4 848124-76-5 848124-77-6
848124-95-8 848124-96-9 848124-97-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(P2X7 receptor antagonist-nonsteroidal antiinflammatory drug combination for inflammation treatment)
RN 345304-65-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

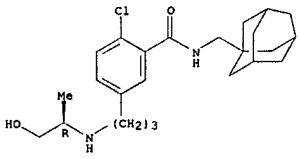


RN 736919-50-9 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 748132-92-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

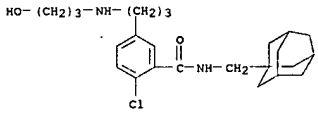


RN 848124-55-0 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (9CI) (CA INDEX NAME)

CM 1

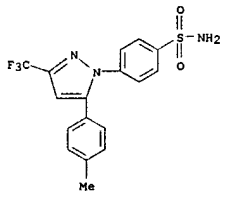
CRN 736919-50-9

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 169590-42-5
CMF C17 H14 F3 N3 O2 S



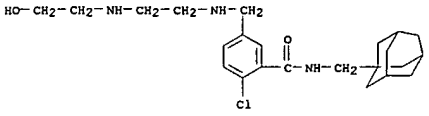
RN 848124-57-2 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (9CI) (CA INDEX NAME)

CM 1

CRN 748132-92-5
CMF C24 H35 Cl N2 O2

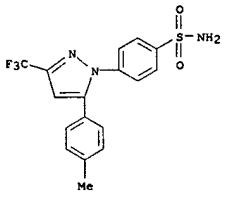
Absolute stereochemistry.

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C23 H34 Cl N3 O2



CM 2

CRN 169590-42-5
CMF C17 H14 F3 N3 O2 S

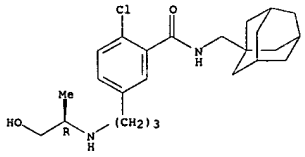


RN 848124-56-1 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (9CI) (CA INDEX NAME)

CM 1

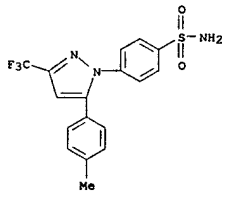
CRN 345304-65-6
CMF C24 H35 Cl N2 O2

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

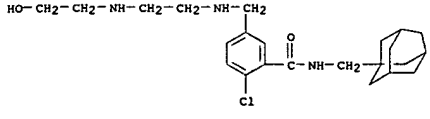
CRN 169590-42-5
CMF C17 H14 F3 N3 O2 S



RN 848124-75-4 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-(4-(methylsulfonyl)phenyl]-3-phenyl-2(5H)-furanone (9CI) (CA INDEX NAME)

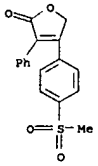
CM 1

CRN 736919-50-9
CMF C23 H34 Cl N3 O2



L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CM 2

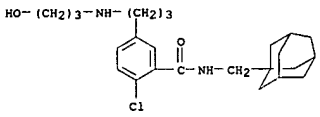
CRN 162011-90-7
CMF C17 H14 O4 S



RN 848124-76-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-[4-(methylsulfonyl)phenyl]-3-phenyl-2(5H)-furanone (9CI) (CA INDEX NAME)

CM 1

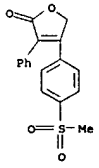
CRN 345304-65-6
CMF C24 H35 Cl N2 O2



CM 2

CRN 162011-90-7
CMF C17 H14 O4 S

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

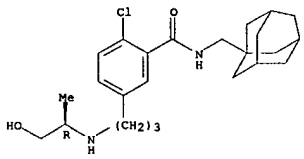


RN 848124-77-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-[4-(methylsulfonyl)phenyl]-3-phenyl-2(5H)-furanone (9CI) (CA INDEX NAME)

CM 1

CRN 748132-92-5
CMF C24 H35 Cl N2 O2

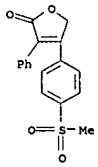
Absolute stereochemistry.



CM 2

CRN 162011-90-7
CMF C17 H14 O4 S

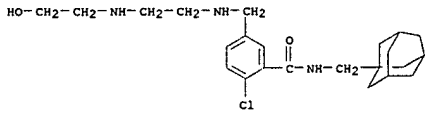
L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 848124-95-8 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (9CI) (CA INDEX NAME)

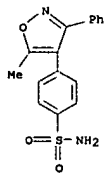
CM 1

CRN 736919-50-9
CMF C23 H34 Cl N3 O2



CM 2

CRN 181695-72-7
CMF C16 H14 N2 O3 S

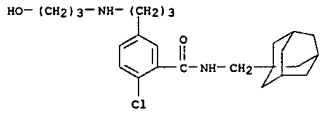


RN 848124-96-9 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (9CI) (CA INDEX NAME)

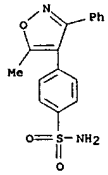
CM 1

CRN 345304-65-6
CMF C24 H35 Cl N2 O2



CM 2

CRN 181695-72-7
CMF C16 H14 N2 O3 S



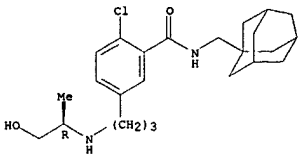
RN 848124-97-0 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, mixt. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (9CI) (CA INDEX NAME)

CM 1

CRN 748132-92-5
CMF C24 H35 Cl N2 O2

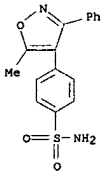
Absolute stereochemistry.

L4 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

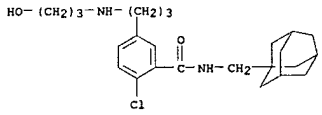
CRN 181695-72-7
CMF C16 H14 N2 O3 S



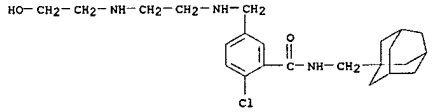
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

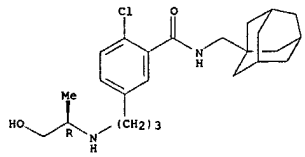


RN 736919-50-9 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 748132-92-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1059204 CAPLUS
DOCUMENT NUMBER: 142:43780
TITLE: A pharmaceutical composition comprising a P2X7-receptor antagonist and a tumor necrosis factor inhibitor
INVENTOR(S): Boughton-Smith, Nigel
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105798	A1	20041209	WO 2004-SE817	20040527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	GB 2003-12321	A	20030529
	SE 2003-1655	A	20030605

OTHER SOURCE(S): MARPAT 142:43780

AB A pharmaceutical product or kit comprises a first active ingredient, e.g., a P2X7 receptor antagonist which is an adamantyl derivative and a second active ingredient which is a TNF-α inhibitor and can be used in the treatment of inflammatory disorders. Thus, a combination of Etanercept and significantly reduced ankle swelling.

IT **345304-65-6 736919-50-9 748132-92-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising P2X7-receptor antagonist and tumor necrosis factor inhibitor)

RN 345304-65-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1059203 CAPLUS
DOCUMENT NUMBER: 142:43737
TITLE: A pharmaceutical composition comprising adamantane derivative P2X7 antagonists and sulfasalazine
INVENTOR(S): Boughton-Smith, Nigel
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

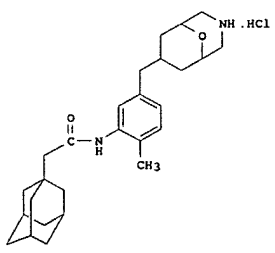
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105797	A1	20041209	WO 2004-SE816	20040527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	GB 2003-12319	A	20030529
	SE 2003-1652	A	20030605

OTHER SOURCE(S): MARPAT 142:43737

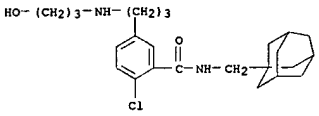
GI



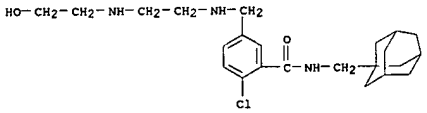
I

AB The invention provides a pharmaceutical composition, pharmaceutical product or

L4 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
kit comprising a first active ingredient which is a P2X7 receptor antagonist (Markush structures are given), and a second active ingredient which is sulfasalazine or a pharmaceutically acceptable deriv. thereof, for use in the treatment of inflammatory disorders. I was prepd. as an example P2X7 antagonist.
IT **345304-65-6P**, 2-Chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)benzamide **736919-50-9P** **748132-92-5P**, (R)-2-Chloro-5-[3-[(2-hydroxy-1-methylethyl)amino]propyl]-N-[tricyclo[3.3.1.13,7]dec-1-ylmethyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical composition comprising a P2X7 antagonist and sulfasalazine)
RN 345304-65-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



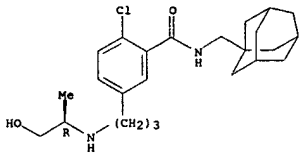
RN 736919-50-9 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 748132-92-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

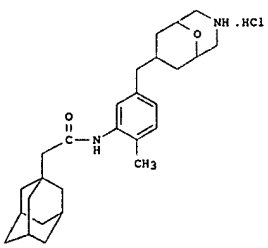
L4 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1059202 CAPLUS
DOCUMENT NUMBER: 142:32949
TITLE: A pharmaceutical composition containing adamantane derivative P2X7 receptor antagonists and methotrexate
INVENTOR(S): Boughton-Smith, Nigel
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105796	A1	20041209	WO 2004-SE815	20040527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	GB 2003-12320	A	20030529
	SE 2003-1651	A	20030605

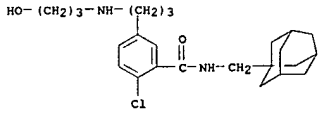
OTHER SOURCE(S): MARPAT 142:32949
GI



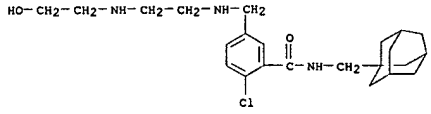
I

AB The invention provides a pharmaceutical composition, pharmaceutical product or

L4 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
kit comprising a first active ingredient which is a P2X7 receptor antagonist (Markush structures are given) and which P2X7 receptor antagonist is an adamantyl deriv., and a second active ingredient which is
N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L-glutamic acid (methotrexate) or a pharmaceutically acceptable deriv. thereof, for use in the treatment of inflammatory disorders. I was prepd. as a P2X7 antagonist.
IT **345304-65-6P** **736919-50-9P** **748132-92-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical composition comprising a P2X7 antagonist and methotrexate)
RN 345304-65-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



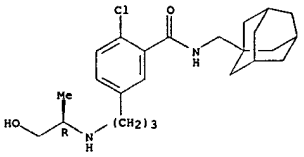
RN 736919-50-9 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 748132-92-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

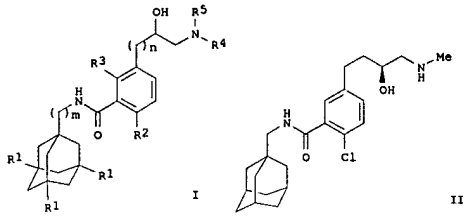
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:718494 CAPLUS
DOCUMENT NUMBER: 141:243189
TITLE: Preparation of benzoic acid N-(adamantan-1-ylmethyl) amides as P2X7 receptor agonists
INVENTOR(S): Caffrey, Moya; Ford, Rhonan; Pimm, Austen
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074224	A1	20040902	WO 2004-SE227	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2515434	AA	20040902	CA 2004-2515434	20040219
EP 1599432	A1	20051130	EP 2004-712798	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: SE 2003-480 A 20030221
WO 2004-SE227 W 20040219

OTHER SOURCE(S): MARPAT 141:243189
GI



I II

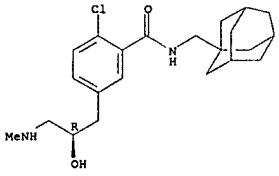
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. I [wherein m = 1-3; n = 0-2; R1 = H or halo; R2 and R3 = independently halo, NO2, NH2, etc.; R4 and R5 = independently H or (un)substituted alkyl] or pharmaceutically acceptable salts or solvates thereof are prepared as P2X7 receptor agonists. For example, the compound II•HCl was prepared in a four-step synthesis. II•HCl inhibited P2X7 receptor with pIC50 of 8.0.

IT **749229-59-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzoic acid N-(adamantan-1-ylmethyl) amides as P2X7 receptor agonists)

RN 749229-59-2 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-2-hydroxy-3-(methylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

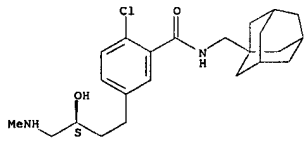


IT **749229-45-6P 749229-46-7P 749229-47-8P**
749229-48-9P 749229-49-0P 749229-50-3P
749229-51-4P 749229-52-5P 749229-53-6P
749229-54-7P 749229-55-8P 749229-56-9P
749229-57-0P 749229-58-1P 749229-60-5P
749229-74-1P 749229-75-2P 749229-76-3P
749229-77-4P 749229-78-5P 749229-80-9P
749229-81-0P 749229-82-1P 749229-83-2P
749229-84-3P 749229-85-4P 749233-15-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzoic acid N-(adamantan-1-ylmethyl) amides as P2X7 receptor agonists)

RN 749229-45-6 CAPLUS
CN Benzamide, 2-chloro-5-[(3S)-3-hydroxy-4-(methylamino)butyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

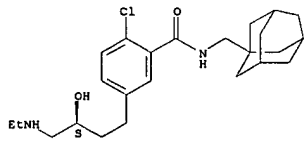
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 749229-46-7 CAPLUS
CN Benzamide, 2-chloro-5-[(3S)-4-(ethylamino)-3-hydroxybutyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

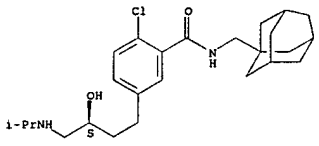


● HCl

RN 749229-47-8 CAPLUS
CN Benzamide, 2-chloro-5-[(3S)-3-hydroxy-4-[(1-methylethyl)amino]butyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

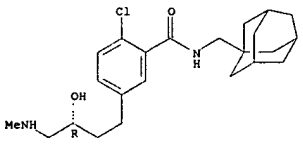
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 749229-48-9 CAPLUS
CN Benzamide, 2-chloro-5-[(3R)-3-hydroxy-4-(methylamino)butyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

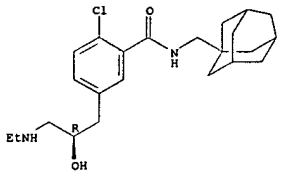


● HCl

RN 749229-49-0 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-3-(ethylamino)-2-hydroxypropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

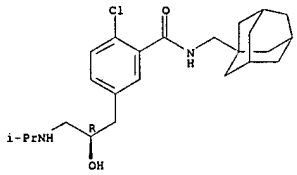
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 749229-50-3 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-2-hydroxy-3-[(1-methylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

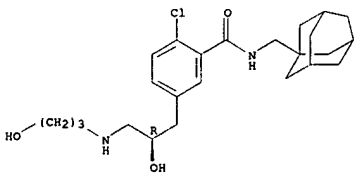


● HCl

RN 749229-51-4 CAPLUS
CN Benzamide,
2-chloro-5-[(2R)-2-hydroxy-3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

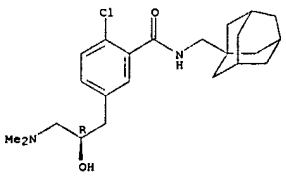
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 749229-52-5 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-3-(dimethylamino)-2-hydroxypropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

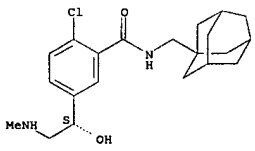


● HCl

RN 749229-53-6 CAPLUS
CN Benzamide, 2-chloro-5-[(1S)-1-hydroxy-2-(methylamino)ethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

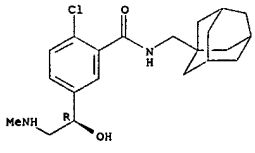
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 749229-54-7 CAPLUS
CN Benzamide, 2-chloro-5-[(1R)-1-hydroxy-2-(methylamino)ethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

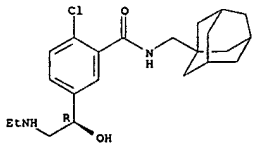
Absolute stereochemistry.



● HCl

RN 749229-55-8 CAPLUS
CN Benzamide, 2-chloro-5-[(1R)-2-(ethylamino)-1-hydroxyethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

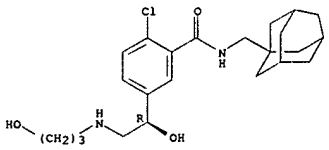
Absolute stereochemistry.



RN 749229-56-9 CAPLUS

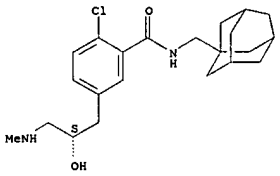
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-chloro-5-[(1R)-1-hydroxy-2-[(3-hydroxypropyl)amino]ethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 749229-57-0 CAPLUS
CN Benzamide, 2-chloro-5-[(2S)-2-hydroxy-3-(methylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

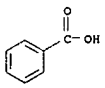


● HCl

RN 749229-58-1 CAPLUS
CN Benzamide, 2-chloro-5-[(2S)-3-(ethylamino)-2-hydroxypropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

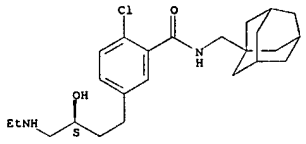
Absolute stereochemistry.

L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



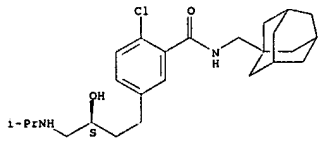
RN 749229-74-1 CAPLUS
CN Benzamide, 2-chloro-5-[(3S)-4-(ethylamino)-3-hydroxybutyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 749229-75-2 CAPLUS
CN Benzamide, 2-chloro-5-[(3S)-3-hydroxy-4-[(1-methylethyl)amino]butyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

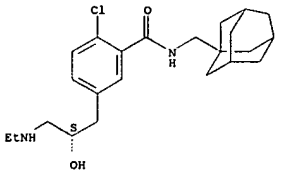
Absolute stereochemistry.



RN 749229-76-3 CAPLUS
CN Benzamide, 2-chloro-5-[(3R)-3-hydroxy-4-(methylamino)butyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



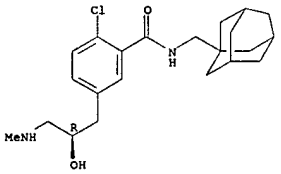
● HCl

RN 749229-60-5 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-2-hydroxy-3-(methylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monobenzoate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 749229-59-2
CMF C22 H31 Cl N2 O2

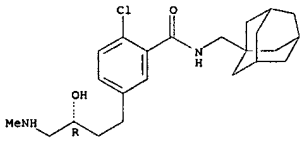
Absolute stereochemistry.



CM 2

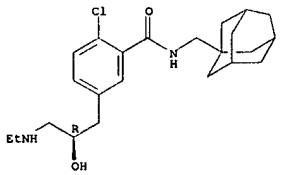
CRN 65-85-0
CMF C7 H6 O2

L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



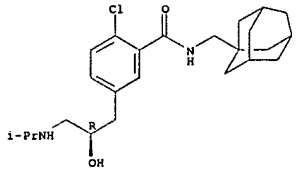
RN 749229-77-4 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-3-(ethylamino)-2-hydroxypropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 749229-78-5 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-2-hydroxy-3-[(1-methylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

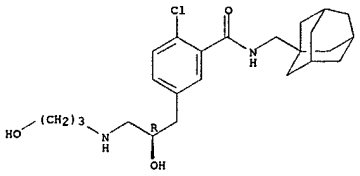
Absolute stereochemistry.



RN 749229-80-9 CAPLUS
CN Benzamide,
2-chloro-5-[(2R)-2-hydroxy-3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

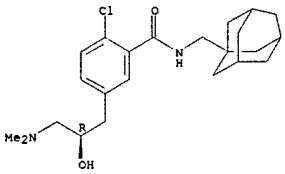
Absolute stereochemistry.

L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



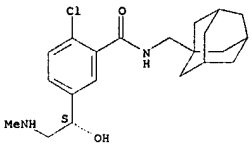
RN 749229-81-0 CAPLUS
CN Benzamide, 2-chloro-5-[(2R)-3-(dimethylamino)-2-hydroxypropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 749229-82-1 CAPLUS
CN Benzamide, 2-chloro-5-[(1S)-1-hydroxy-2-(methylamino)ethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

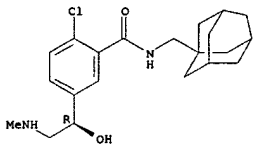
Absolute stereochemistry.



RN 749229-83-2 CAPLUS
CN Benzamide, 2-chloro-5-[(1R)-1-hydroxy-2-(methylamino)ethyl]-N-

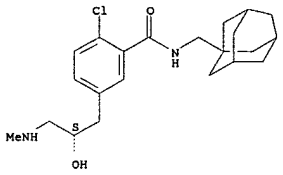
L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



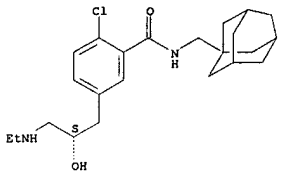
RN 749229-84-3 CAPLUS
CN Benzamide, 2-chloro-5-[(2S)-2-hydroxy-3-(methylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 749229-85-4 CAPLUS
CN Benzamide, 2-chloro-5-[(2S)-3-(ethylamino)-2-hydroxypropyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

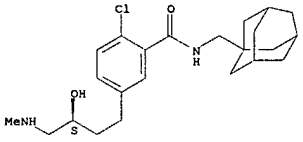
Absolute stereochemistry.



L4 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 749233-15-6 CAPLUS
CN Benzamide, 2-chloro-5-[(3S)-3-hydroxy-4-(methylamino)butyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

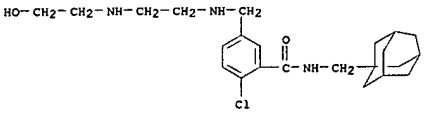
FORMAT

L4 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:718352 CAPLUS
DOCUMENT NUMBER: 141:218960
TITLE: P2X7 receptor antagonist-TACE inhibitor combination for the treatment of inflammatory disorders
INVENTOR(S): Dixon, John
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073704	A1	20040902	WO 2004-SE196	20040216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1596847	A1	20051123	EP 2004-711525	20040216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			SE 2003-445	A 20030218
			WO 2004-SE196	W 20040216

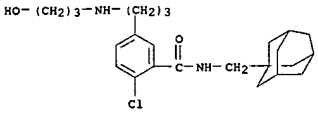
OTHER SOURCE(S): MARPAT 141:218960
AB The invention provides a pharmaceutical composition, pharmaceutical product, and kit comprising a first active ingredient which is a P2X7 receptor antagonist, and a second active ingredient which is an inhibitor of proTNFα convertase enzyme (TACE), for use in the treatment of inflammatory disorders.
IT **345303-84-6 345303-91-5 345304-65-6 748132-92-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(P2X7 receptor antagonist-TACE inhibitor combination for treatment of inflammatory disorders)
RN 345303-84-6 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



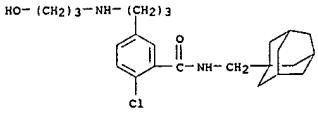
●2 HCl

RN 345303-91-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

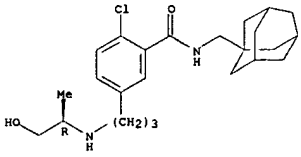
RN 345304-65-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 748132-92-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

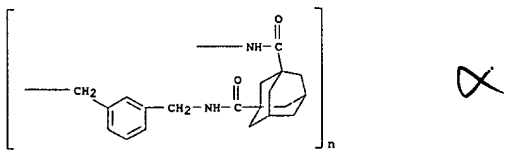
ACCESSION NUMBER: 2003:391042 CAPLUS
DOCUMENT NUMBER: 138:402642
TITLE: Adamantane-containing polyamide resins with good heat resistance and their manufacturing method
INVENTOR(S): Kanaka, Keiichi; Nakane, Toshio
PATENT ASSIGNEE(S): Polyplastics Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003147077	A2	20030521	JP 2001-349499	20011114
PRIORITY APPLN. INFO.:			JP 2001-349499	20011114

AB Title resins have repeating units COAdCONHR1NH, wherein Ad = 1,3-adamantylene and R1 = C2-30 divalent aliphatic acids or alicyclic hydrocarbon groups. Thus, equivalent 1,3-adamantanedicarboxylic acid and 1,6-hexamethylenediamine were mixed and polycondensated at 250° to give a transparent polyamide with glass transition temperature 107° and intrinsic viscosity 1.9 dL/g.

IT 293309-36-1P
RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)
(preparation of adamantane-containing polyamide resins with good heat resistance)

RN 293309-36-1 CAPLUS
CN Poly(iminocarbonyltricyclo[3.3.1.13,7]decane-1,3-diylcarbonyliminomethylene-1,3-phenylenemethylene) (9CI) (CA INDEX NAME)

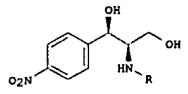


L4 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:261799 CAPLUS
DOCUMENT NUMBER: 138:287436
TITLE: Preparation of sphingolipids for therapeutic in the treatment of cancer and lipid storage diseases
INVENTOR(S): Dagan, Arieh; Gatt, Shimon
PATENT ASSIGNEE(S): Yissum Research Development Company of the Hebrew University of Jerusalem, Israel
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027058	A1	20030403	WO 2001-IL909	20010926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001052506	A5	20011030	AU 2001-52506	20010418
CA 2461801	AA	20030403	CA 2001-2461801	20010926
EP 1430019	A1	20040623	EP 2001-976587	20010926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005503432	T2	20050203	JP 2003-530649	20010926
US 2003133904	A1	20030717	US 2002-273664	20021017
US 6756504	B2	20040629		
PRIORITY APPLN. INFO.:			US 2000-198513P P	20000419
			WO 2001-IL361 W	20010418
			WO 2001-IL909 A	20010926

OTHER SOURCE(S): MARPAT 138:287436
GI



AB Sphingolipids, such as RCH(X)CH(Y)CH2Z [R = alkyl, alkenyl, Ph, substituted-Ph; X = OH, alkoxy, alkenyloxy; Y = NH2, alkylamino, alkenylamino, protected-amino; Z = OH, monosaccharide, disaccharide,

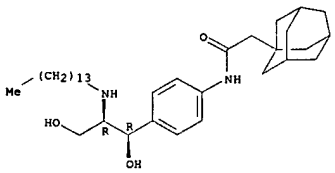
L4 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
choline phosphate, monosaccharide sulfate], were prepd. for pharmaceutical
use as inhibitors of various lipid-related enzymes for treatment of lipid storage diseases, such as Gaucher disease, Tay-Sachs disease, Niemann-Pick
disease, Krabbe disease, Metachromatic leukodystrophy, Fabry disease and Farber disease, cancerous diseases and for killing of wild type and drug-resistant cancer cells, treatment of parasitic, viral, bacterial, fungal and prion diseases, and malaria or leishmania. Thus, AD-2593 I [R = (CH2)5Me] was prepd. by reacting the corresponding amine I (R = H) with hexanal using 0.1 N HCl and NaBH4 in MeOH. The prepd. sphingolipids were subjected to a variety of biol. tests, such as cytotoxicity of HL60 and TSU-PR1 cells and effect on sphingolipid metab.

IT **366487-96-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sphingolipids for therapeutic in the treatment of cancer and
lipid storage diseases)

RN 366487-96-9 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-acetamide, N-[4-[(1R,2R)-1,3-dihydroxy-2-(tetradecylamino)propyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:52789 CAPLUS
DOCUMENT NUMBER: 139:357992
TITLE: Anthranilic acid derivatives: a new class of non-peptide CCK1 receptor antagonists
AUTHOR(S): Varnavas, Antonio; Lassiani, Lucia; Valenta, Valentina; Berti, Federico; Mennuni, Laura; Makovec, Francesco
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Trieste, Trieste, 34127, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(5), 741-751
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:357992

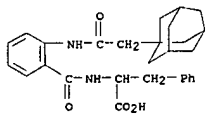
AB Having successfully obtained new CCK1 ligands holding appropriate groups on the anthranilic acid dimer used as mol. scaffold we were interested in increasing their micromolar affinity for the CCK1 receptors by modifying the spatial relationship of the main pharmacophoric groups. Since, we have proposed simplified analogs reducing the anthranilic acid dimer to a monomer. In this stage of our research program we have prepared and tested
on CCK receptors a series of N-substituted anthranilic acid derivs. keeping a Phe residue at the C-terminal site. The indole-2-carbonyl group
imparts the best CCK1 receptor binding affinity (compound 1: IC50=197.5 nM)
while a sharp decrease in binding affinity is observed for the other indole
containing derivs. Moreover, in order to support the different binding behavior observed for the synthesized compds., a conformational investigation
was carried out. Finally, on the basis of the main pharmacophoric groups of the obtained new lead compound (1) (coded VL-0395) a receptor binding hypothesis has been provided.

IT **620167-31-9P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of anthranilic acid derivs. as a new class of non-peptide CCK1
receptor antagonists)

RN 620167-31-9 CAPLUS

CN Phenylalanine, N-[2-[(tricyclo[3.3.1.13,7]dec-1-ylacetyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

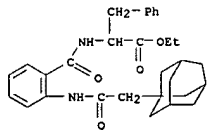
L4 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT **620167-45-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anthranilic acid derivs. as a new class of non-peptide CCK1
receptor antagonists)

RN 620167-45-5 CAPLUS

CN Phenylalanine, N-[2-[(tricyclo[3.3.1.13,7]dec-1-ylacetyl)amino]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:792340 CAPLUS
DOCUMENT NUMBER: 135:331672
TITLE: Preparation of methionine derivatives as inhibitors of
protein isoprenyl transferases
INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.;
Barr, Kenneth J.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; O'connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorenson, Bryan K.; Sullivan, Gerard M.; Tasker, Andrew S.; Wasicak, James T.; Nelson, Lissa T. J.; Henry, Kenneth J.; Wang, Le
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 514 pp., Cont.-in-part of U.S. Ser. No. 852,858,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6310095	B1	20011030	US 1998-73794		19980507
ZA 9906763	A	20000515	ZA 1999-6763		19991027
PRIORITY APPLN. INFO.:			US 1995-7247P	P	19951106
			US 1996-740909	B2	19961105
			US 1997-852858	B2	19970507
			US 1998-73794	A	19980507
			US 1998-197279	A	19981120

OTHER SOURCE(S): MARPAT 135:331672

AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4NR5L5 where L4 and L5 are absent or alkylene, R5 is H,
alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, etc.; Z is a covalent bond; R3 =
cycloalkyl, alkoxy, alkyl, halogen, oxo, etc.] or their pharmaceutically acceptable salts, were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepared via amidation reaction, showed 92% inhibition of farnesyl transferase at 1x10-6 M.

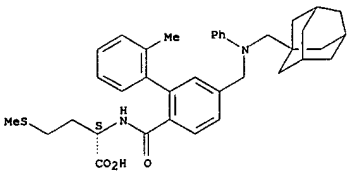
IT **216230-30-7P 216230-31-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of methionine derivs. as inhibitors of protein isoprenyl transferases)

RN 216230-30-7 CAPLUS

CN L-Methionine, N-[[2'-methyl-5-[(phenyl(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

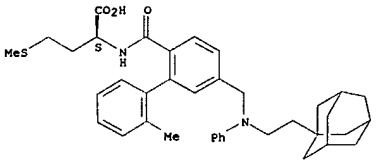
L4 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 216230-31-8 CAPLUS
CN L-Methionine, N-[[2'-methyl-5-[[phenyl(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:780836 CAPLUS
DOCUMENT NUMBER: 135:304103
TITLE: Preparation of sphingolipids as antitumor agents
INVENTOR(S): Dagan, Arieh; Gatt, Shimon
PATENT ASSIGNEE(S): Yissum Research Development Company of the Hebrew University of Jerusalem, Israel
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

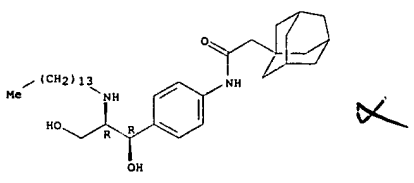
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079152	A1	20011025	WO 2001-IL361	20010418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001052506	A5	20011030	AU 2001-52506	20010418
US 2003133904	A1	20030717	US 2002-273664	20021017
US 6756504	B2	20040629		
PRIORITY APPLN. INFO.:			US 2000-198513P	P 20000419
			WO 2001-IL361	W 20010418
			WO 2001-IL909	A 20010926

OTHER SOURCE(S): MARPAT 135:304103
GI

AB Sphingolipids I wherein R represent a linear or branched, saturated, or unsatd. alkyl or alkenyl chain, which may optionally be substituted by hydroxyl, CH(CH)mCH=CH-, CH(CH)m, wherein m is zero or an integer of 1-20, Ph, optionally substituted by nitro, amino, alkylamino, acylamino, -NHC(S)NH-alkyl, sulfonylamido-alkyl, a group -NHCO-(CH)nNHCO-adamantane,

L4 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
wherein n is an integer of 1-20, or a group -NH-adamantane, -NH-t-BOC, -NH-FMOC or NH-CBZ; X represents hydrogen or the group -OR in which R is a linear or branched, satd. or unsatd. alkyl or alkenyl chain which may be optionally substituted with hydroxy; Y represents NH, substituted amine; Z represents hydrogen, -OH, a mono- or disaccharide, a monosaccharide sulfate and choline phosphate; were prepd. as antitumor agents. Compds. I are inhibitors of various lipid-related enzymes. They can be used in reducing accumulation of sphingolipids and thus in the treatment of lipid storage diseases. Compds. I can also be used for the treatment of cancerous diseases and for killing of wild type and drug-resistant cancer cells. Thus, (2R,3R)-2-(N-tetradecylamine)-1-(4-nitrophenyl)-1,3-propanediol was prepd. and tested in vitro as antitumor agent (IC50 = 5 μM).
IT 366487-96-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sphingolipids as antitumor agents and lipid-related enzyme inhibitors)
RN 366487-96-9 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-acetamide, N-[4-[(1R,2R)-1,3-dihydroxy-2-(tetradecylamino)propyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

Parent Case

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:452999 CAPLUS
DOCUMENT NUMBER: 135:61095
TITLE: Adamantane-derivatives useful as P2X7 receptor antagonists
INVENTOR(S): Alcaraz, Lilian; Caffrey, Moya; Furber, Mark; Luker, Timothy; Mortimore, Michael; Pimm, Austen; Thorne, Phillip; Willis, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044170	A1	20010621	WO 2000-SE2505	20001212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393352	AA	20010621	CA 2000-2393352	20001212
BR 2000016395	A	20020827	BR 2000-16395	20001212
EP 1242364	A1	20020925	EP 2000-986155	20001212
EP 1242364	B1	20040317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003517035	T2	20030520	JP 2001-545259	20001212
JP 3710418	B2	20051026		
EE 200200330	A	20031015	EE 2002-330	20001212
EP 1352895	A2	20031015	EP 2003-13989	20001212
EP 1352895	A3	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1352896	A2	20031015	EP 2003-13990	20001212
EP 1352896	A3	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1352897	A2	20031015	EP 2003-13991	20001212
EP 1352897	A3	20031203		
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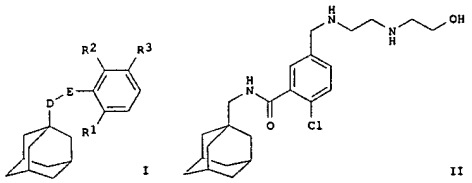
NZ 519378	A	20040227	NZ 2000-519378	20001212
AT 261933	E	20040415	AT 2000-986155	20001212
PT 1242364	T	20040730	PT 2000-986155	20001212
ES 2215777	T3	20041016	ES 2000-986155	20001212
AU 780506	B2	20050324	AU 2001-22444	20001212
ZA 2002004125	A	20030825	ZA 2002-4125	20020523
US 6881754	B2	20001212	US 2002-149549	20020612
US 2003013704	A1	20030116		
NO 20020856	A	20020816	NO 2002-856	20020614
HK 1046678	A1	20041203	HK 2002-108164	20021111
US 2005049303	A1	20050303	US 2004-813426	20040331

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

JP 2005320340 A2 20051117 JP 2005-163710 20050603
PRIORITY APPLN. INFO.: SE 1999-4651 A 19991217
GB 2000-15744 A 20000627
GB 2000-17942 A 20000722
EP 2000-986155 A3 20001212
JP 2001-545259 A3 20001212
WO 2000-SE2505 W 20001212
US 2002-149549 A1 20020612

OTHER SOURCE(S): MARPAT 135:61095
GI



I II

AB The invention provides adamantane derivs. I, a process for their preparation,
pharmaceutical compns. containing them, a process for preparing the pharmaceutical compns., and their use in therapy [wherein D = CH2 or CH2CH2; E = C(O)NH or NHC(O); R1, R2 = H, halo, amino, nitro, C1-C6 alkyl,
CF3 (R1 and R2 may not both be H); R3 = -R4-X-R5; R4 = C1-C6 alkylene; X =
O, S, NR13, SO, or SO2; R5 = H, (un)substituted C1-6 alkyl or C2-6 alkenyl
[substituents = halo, OH, (di)alkylamino, -YR6, 1-aminocyclopropyl, (un)substituted heteroaryl]; Y = O, S, NH, SO, or SO2; R6 = R7Z; R7 = C2-6
alkylene; Z = OH, CO2H, NR8R9, CONR10R11, NR12CO-C1-6-alkyl, etc.; also (when Y = O, S, or NH) then R6 = H, alkyl, alkanoyl, alkoxycarbonyl, etc.;
R8-R12 = H, C1-6 alkyl; R13 = H, cycloalkyl, cycloalkylmethyl, hydroxyalkyl, alkoxyalkyl; with provisos; or a pharmaceutically acceptable

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **345303-84-6P 345303-85-7P 345303-86-8P**
345303-87-9P 345303-88-0P 345303-90-4P
345303-91-5P 345303-93-7P 345303-94-8P
345303-95-9P 345303-96-0P 345303-98-2P
345303-99-3P 345304-00-9P 345304-01-0P
345304-02-1P 345304-03-2P 345304-04-3P
345304-05-4P 345304-06-5P 345304-07-6P
345304-08-7P 345304-14-5P 345304-15-6P
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345304-23-6P 345304-24-7P 345304-25-8P
345304-26-9P 345304-27-0P 345304-28-1P
345304-29-2P 345304-30-5P 345304-31-6P
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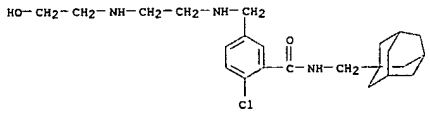
345304-56-5P 345304-57-6P 345304-58-7P
345304-60-1P 345304-61-2P 345304-62-3P
345304-63-4P 345304-64-5P 345304-86-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of adamantane derivs. as P2X7 receptor antagonists)

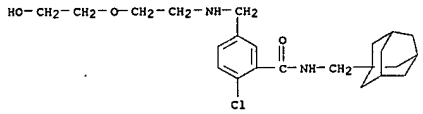
RN 345303-84-6 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

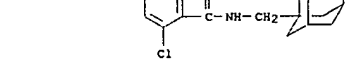


●2 HCl

RN 345303-85-7 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-(2-hydroxyethoxy)ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

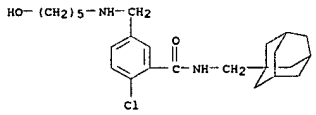


RN 345303-86-8 CAPLUS
CN Benzamide, 2-chloro-5-[[(3-hydroxy-2,2-dimethylpropyl)amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

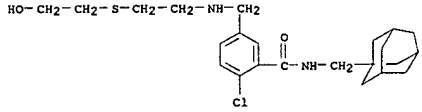


RN 345303-87-9 CAPLUS
CN Benzamide, 2-chloro-5-[[(5-hydroxypentyl)amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



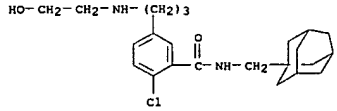
RN 345303-88-0 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(2-hydroxyethyl)thio]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345303-90-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxyethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345303-89-1
CMF C23 H33 Cl N2 O2

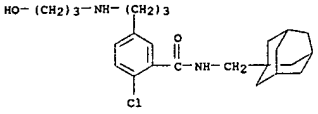


CM 2

CRN 64-19-7
CMF C2 H4 O2

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 345303-91-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

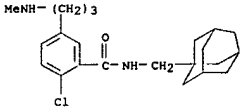


● HCl

RN 345303-93-7 CAPLUS
CN Benzamide, 2-chloro-5-[3-(methylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 345303-92-6
CMF C22 H31 Cl N2 O



CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 345303-94-8 CAPLUS

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 345303-98-2 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxy-2-methylpropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345303-97-1
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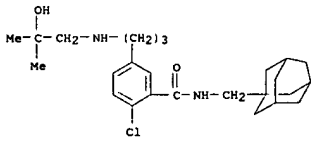
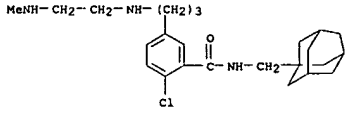


CM 2

CRN 64-19-7
CMF C2 H4 O2

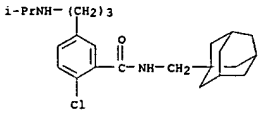
RN 345303-99-3 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(methylamino)ethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

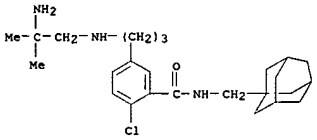
RN 345304-00-9 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(2S)-2-hydroxypropyl]amino]propyl]-N-

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-chloro-5-[3-[(1-methylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



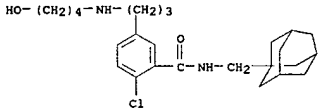
● HCl

RN 345303-95-9 CAPLUS
CN Benzamide, 5-[3-[(2-amino-2-methylpropyl)amino]propyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



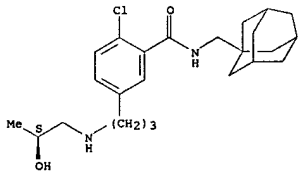
●2 HCl

RN 345303-96-0 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(4-hydroxybutyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

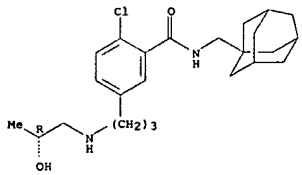
Absolute stereochemistry.



● HCl

RN 345304-01-0 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(2R)-2-hydroxypropyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

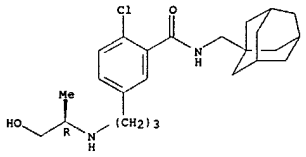


● HCl

RN 345304-02-1 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[(1R)-2-hydroxy-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

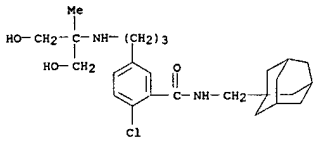
Absolute stereochemistry.

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

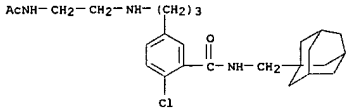


● HCl

RN 345304-03-2 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

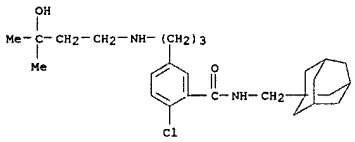


RN 345304-04-3 CAPLUS
CN Benzamide, 5-[3-[[2-(acetylamino)ethyl]amino]propyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



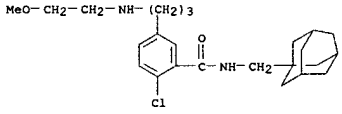
● HCl

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



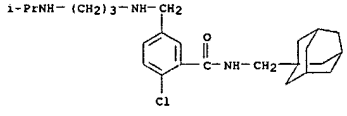
● HCl

RN 345304-08-7 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-methoxyethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

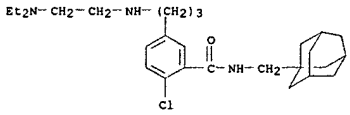
RN 345304-14-5 CAPLUS
CN Benzamide, 2-chloro-5-[[[3-[(1-methylethyl)amino]propyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345304-15-6 CAPLUS
CN Benzamide, 5-[[(3-aminopropyl)amino]methyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

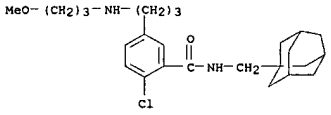
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 345304-05-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(diethylamino)ethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

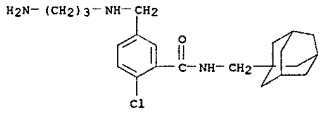
RN 345304-06-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-methoxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



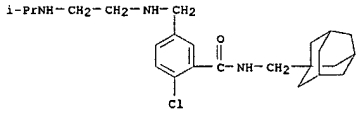
● HCl

RN 345304-07-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxy-3-methylbutyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



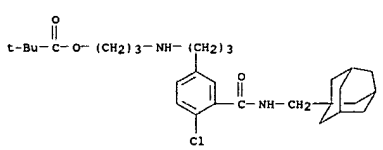
RN 345304-16-7 CAPLUS
CN Benzamide, 2-chloro-5-[[[2-[(1-methylethyl)amino]ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345304-18-9 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[[3-[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]propyl]amino]propyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 345304-17-8
CMF C29 H43 Cl N2 O3

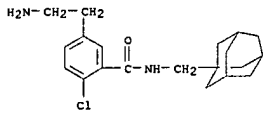


CM 2

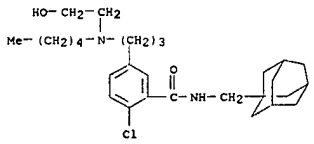
CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 345304-19-0 CAPLUS
CN Benzamide, 5-(2-aminoethyl)-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

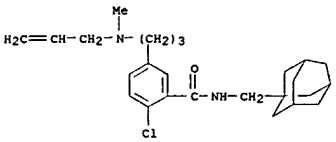


RN 345304-20-3 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxyethyl)pentylamino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

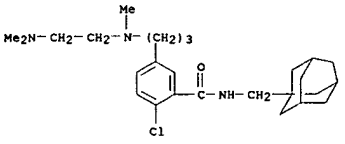


RN 345304-21-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-(methyl-2-propenylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

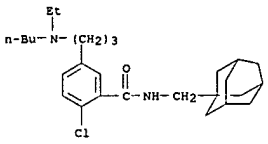
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-22-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(dimethylamino)ethyl]methylamino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

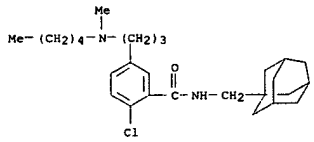


RN 345304-23-6 CAPLUS
CN Benzamide, 5-[3-(butylethylamino)propyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

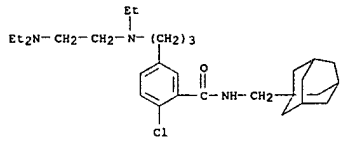


RN 345304-24-7 CAPLUS
CN Benzamide, 2-chloro-5-[3-(methylpentylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

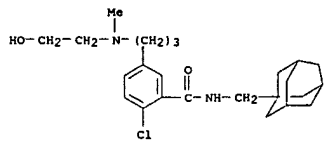
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-25-8 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(diethylamino)ethyl]ethylamino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

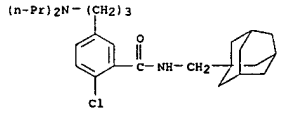


RN 345304-26-9 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxyethyl)methylamino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

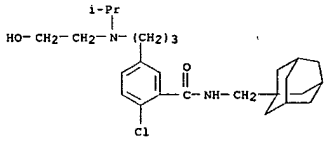


RN 345304-27-0 CAPLUS
CN Benzamide,
2-chloro-5-[3-(dipropylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

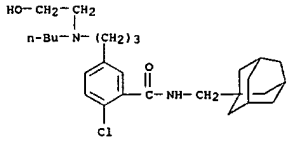
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-28-1 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxyethyl)(1-methylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

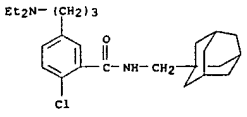


RN 345304-29-2 CAPLUS
CN Benzamide, 5-[3-[butyl(2-hydroxyethyl)amino]propyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

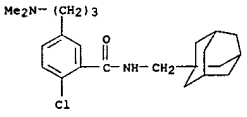


RN 345304-30-5 CAPLUS
CN Benzamide,
2-chloro-5-[3-(diethylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

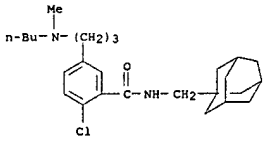
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-31-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-(dimethylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

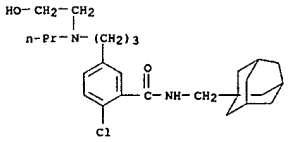


RN 345304-32-7 CAPLUS
CN Benzamide, 5-[3-(butylmethylamino)propyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

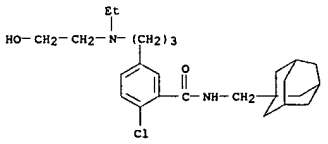


RN 345304-33-8 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxyethyl)propylamino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

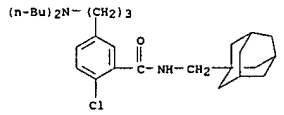
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-34-9 CAPLUS
CN Benzamide, 2-chloro-5-[3-[ethyl(2-hydroxyethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

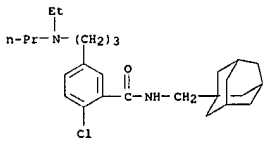


RN 345304-35-0 CAPLUS
CN Benzamide, 2-chloro-5-[3-(dibutylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

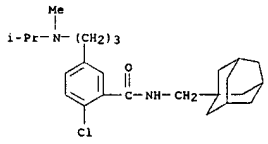


RN 345304-36-1 CAPLUS
CN Benzamide, 2-chloro-5-[3-(ethylpropylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

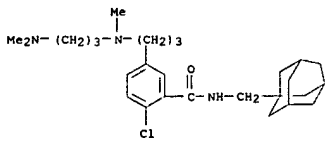
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



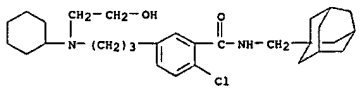
RN 345304-37-2 CAPLUS
CN Benzamide, 2-chloro-5-[3-[methyl(1-methylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345304-38-3 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[3-(dimethylamino)propyl]methylamino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

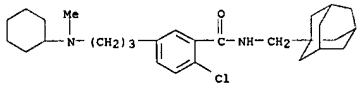


RN 345304-39-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-[cyclohexyl(2-hydroxyethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

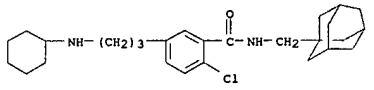


L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

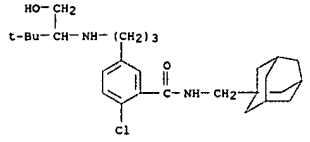
RN 345304-40-7 CAPLUS
CN Benzamide, 2-chloro-5-[3-(cyclohexylmethylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



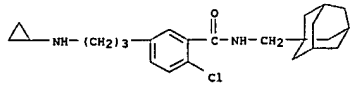
RN 345304-41-8 CAPLUS
CN Benzamide, 2-chloro-5-[3-(cyclohexylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



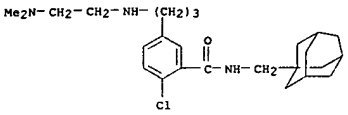
RN 345304-42-9 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[1-(hydroxymethyl)-2,2-dimethylpropyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



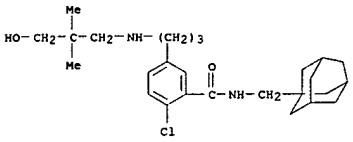
RN 345304-43-0 CAPLUS
CN Benzamide, 2-chloro-5-[3-(cyclopropylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



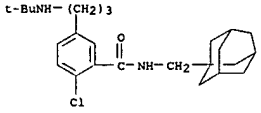
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 345304-44-1 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(dimethylamino)ethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345304-45-2 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxy-2,2-dimethylpropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

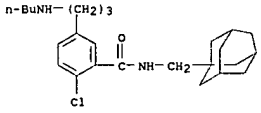


RN 345304-46-3 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(1,1-dimethylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

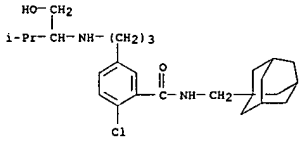


RN 345304-47-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[3-(dimethylamino)propyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

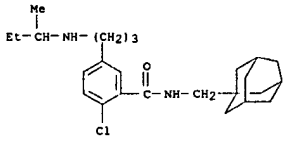
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-51-0 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[1-(hydroxymethyl)-2-methylpropyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

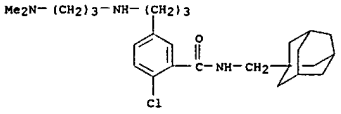


RN 345304-52-1 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(1-methylpropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

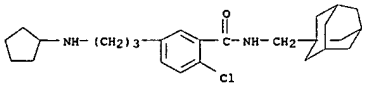


RN 345304-53-2 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(methylthio)ethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

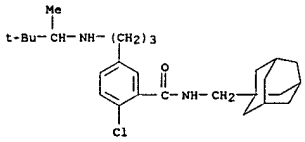
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-48-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-(cyclopentylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

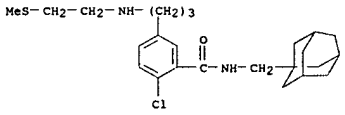


RN 345304-49-6 CAPLUS
CN Benzamide, 2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-5-[3-[(1,2,2-trimethylpropyl)amino]propyl]- (9CI) (CA INDEX NAME)

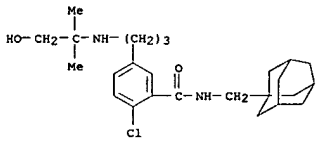


RN 345304-50-9 CAPLUS
CN Benzamide, 5-[3-(butylamino)propyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

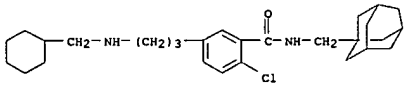
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



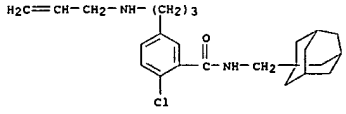
RN 345304-54-3 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-hydroxy-1,1-dimethylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345304-55-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(cyclohexylmethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

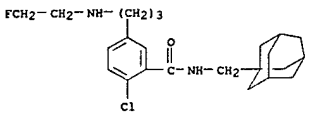


RN 345304-56-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-(2-propenylamino)propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

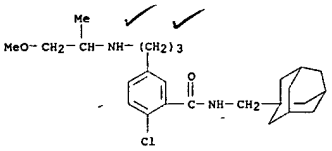


RN 345304-57-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-fluoroethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

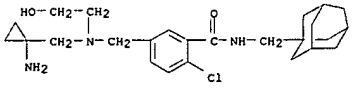
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345304-58-7 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(2-methoxy-1-methylethyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

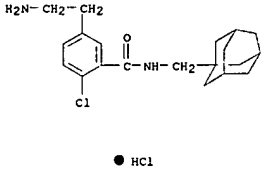


RN 345304-60-1 CAPLUS
CN Benzamide, 5-[[[(1-aminocyclopropyl)methyl](2-hydroxyethyl)amino]methyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

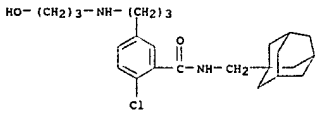


RN 345304-61-2 CAPLUS
CN Benzamide, 2-chloro-5-[[(2-hydroxyethyl)[2-(methylamino)ethyl]amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

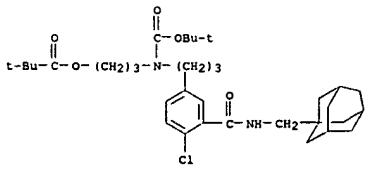
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 5-(2-aminoethyl)-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



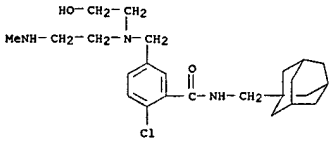
IT **345304-65-6P 345304-79-2P 345304-81-6P 345304-83-8P 345304-84-9P 345304-85-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of adamantane derivs. as P2X7 receptor antagonists)
RN 345304-65-6 CAPLUS
CN Benzamide, 2-chloro-5-[3-[(3-hydroxypropyl)amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



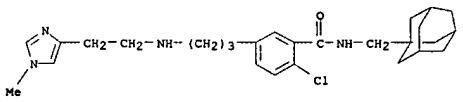
RN 345304-79-2 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 3-[[3-[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl]amino]carbonyl]phenyl]propyl][(1,1-dimethylethoxy)carbonyl]amino]propyl ester (9CI) (CA INDEX NAME)



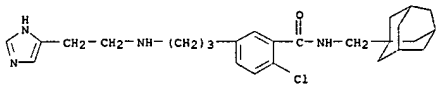
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



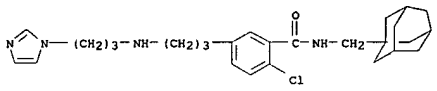
RN 345304-62-3 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(1-methyl-1H-imidazol-4-yl)ethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 345304-63-4 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[2-(1H-imidazol-4-yl)ethyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

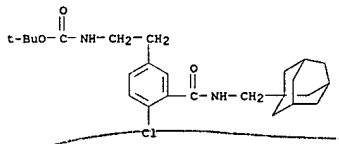


RN 345304-64-5 CAPLUS
CN Benzamide, 2-chloro-5-[3-[[3-(1H-imidazol-1-yl)propyl]amino]propyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

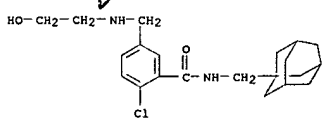


RN 345304-86-1 CAPLUS

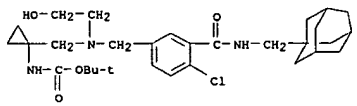
L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 345304-81-6 CAPLUS
CN Carbamic acid, [2-[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 345304-83-8 CAPLUS
CN Benzamide, 2-chloro-5-[[(2-hydroxyethyl)amino]methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

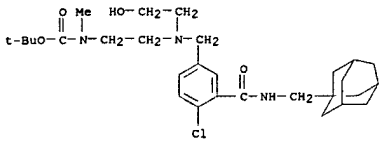


RN 345304-84-9 CAPLUS
CN Carbamic acid, [1-[[[[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]methyl](2-hydroxyethyl)amino]methyl]cyclopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 345304-85-0 CAPLUS
CN Carbamic acid, [2-[[[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]methyl](2-hydroxyethyl)amino]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

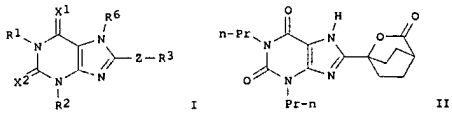
ACCESSION NUMBER: 2001:360002 CAPLUS
DOCUMENT NUMBER: 134:366889
TITLE: Preparation of polycycloalkylpurines as adenosine receptor antagonists
INVENTOR(S): Kiesman, William F.; Dowling, James E.; Ensinger, Carol L.; Kumaravel, Gnanasambandam; Petter, Russell C.; Chang, He Xi; Lin, Ko Chung
PATENT ASSIGNEE(S): Biogen, Inc., USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034610	A1	20010517	WO 2000-US31058	20001113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2390496	AA	20010517	CA 2000-2390496	20001113
BR 2000015545	A	20020806	BR 2000-15545	20001113
EP 1230243	A1	20020814	EP 2000-978546	20001113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200201260	T2	20020923	TR 2002-200201260	20001113
JP 2003513982	T2	20030415	JP 2001-537323	20001113
EE 200200247	A	20030616	EE 2002-247	20001113
NZ 519426	A	20030829	NZ 2000-519426	20001113
US 6649600	B1	20031118	US 2000-711543	20001113
NZ 527917	A	20050324	NZ 2000-527917	20001113
ZA 2002003701	A	20040223	ZA 2002-3701	20020509
NO 2002002238	A	20020712	NO 2002-2238	20020510
BG 106762	A	20030131	BG 2002-106762	20020531
US 2004067966	A1	20040408	US 2003-646454	20030821

PRIORITY APPLN. INFO.:
US 1999-165191P P 19991112
US 2000-711543 A1 20001113
WO 2000-US31058 W 20001113

OTHER SOURCE(S): MARPAT 134:366889
GI

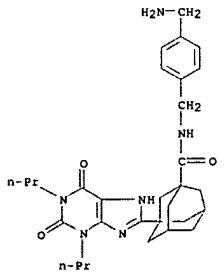
L4 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I; R1, R2 = H, alkyl, alkenyl, etc.; R3 = (un)substituted bicyclic, tricyclic, pentacyclic; X1, X2 = = O, S; Z = a single bond, O, CH2OCH2, etc.; R6 = H, allyl, acyl, etc.] which are unexpectedly highly potent and selective inhibitors of the adenosine A1 receptor, and therefore can be useful in the prevention and/or treatment of numerous diseases, including cardiac and circulatory disorders, degenerative disorders of the central nervous system, respiratory disorders, and many diseases for which diuretic treatment is suitable, were prepared E.g., a multi-step synthesis of the purine II was given. All of the compds. I tested exhibited rat A1 Ki values between 0.6 and 433.8 nM, human A1 Ki values between 1.6 and 1000 nM, and human A2a Ki values between 132 and 49930 nM.

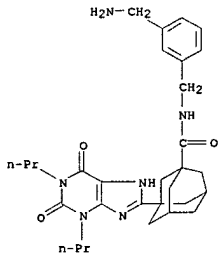
IT **340021-97-8P 340021-99-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polycycloalkylpurines as adenosine receptor antagonists)

RN 340021-97-8 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-3-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 340021-99-0 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[3-(aminomethyl)phenyl]methyl]-3-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)- (9CI) (CA INDEX NAME)



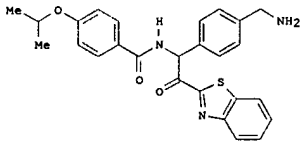
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:283939 CAPLUS
DOCUMENT NUMBER: 134:311433
TITLE: Preparation of (hetero)arylmethylamines as tryptase inhibitors
INVENTOR(S): Lively, Sarah Elizabeth; Waszkowycz, Bohdan; Harrison, Martin James; Clase, Juha Andrew; Naylor, Neil Jason
PATENT ASSIGNEE(S): Protherics Molecular Design Limited, UK
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027096	A1	20010419	WO 2000-GB3832	20001005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 1999-23710	A 19991008

OTHER SOURCE(S): MARPAT 134:311433
GI



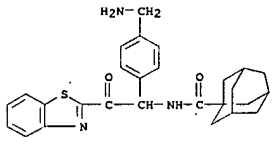
I

AB R1CHR2(CH2)aZCH2NH2 [R1 = H, NH2, NHZ1(CH2)bR3; R2 = H when R1 = NHZ1(CH2)bR3 or COR4; R3 = alk(en)yl, heterocyclyl, aryl, etc.; R4 = COR5, CF2R6, 2-(benz)oxazolyl, 2-(benz)imidazolyl, etc.; R5 = (fluoro)alkyl, alkoxy, aryl, etc.; R6 = F, (fluoro)alkyl, aryl, etc.; Z = 1,4-phenylene, 5-membered heteroarylene, etc.; Z1 = bond, CO CO2, CONH, SO2; a = 0-2; b =

L4 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
0-4] were prepd. as tryptase inhibitors (no data). Thus, 4-BrC6H4CH2CO2H was converted in 7 steps to 4-(BocHNH2C)C6H4CH(NH2)CO2Me which was amidated by 4-(Me2HCO)C6H4CO2H and the product condensed with benzothiazole to give, after deprotection, title compd. I.
IT 334988-87-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)arylmethylamines as tryptase inhibitors)
RN 334988-87-3 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-[4-(aminomethyl)phenyl]-2-(2-benzothiazolyl)-2-oxoethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 334988-86-2
CMF C27 H29 N3 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

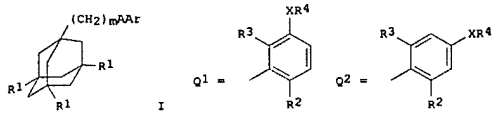
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:742083 CAPLUS
DOCUMENT NUMBER: 133:309908
TITLE: Preparation of piperazinyladamantylmethylbenzamides and related compounds as P2X7 receptor antagonists.
INVENTOR(S): Alcaraz, Lilian; Furber, Mark; Mortimore, Michael
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061569	A1	20001019	WO 2000-SE663	20000406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2368829	AA	20001019	CA 2000-2368829	20000406
BR 2000009651	A	20020108	BR 2000-9651	20000406
EP 1171432	A1	20020116	EP 2000-919245	20000406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102911	T2	20020121	TR 2001-200102911	20000406
JP 2002541249	T2	20021203	JP 2000-610843	20000406
EE 200100525	A	20021216	EE 2001-525	20000406
NZ 514477	A	20030429	NZ 2000-514477	20000406
AU 774526	B2	20040701	AU 2000-39947	20000406
RU 2251299	C2	20050620	RU 2001-130140	20000406
US 6492355	B1	20021210	US 2000-555489	20000601
NO 2001004894	A	20011210	NO 2001-4894	20011008
ZA 2001008265	A	20030108	ZA 2001-8265	20011008
PRIORITY APPLN. INFO.:			SE 1999-1270	A 19990409
			GB 2000-2330	A 20000201
			WO 2000-SE663	W 20000406

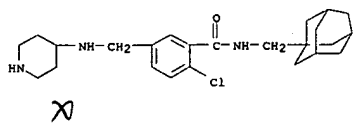
OTHER SOURCE(S): MARPAT 133:309908
GI

L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

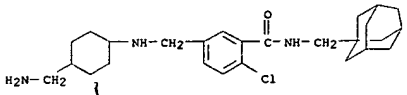
AB Title compds. I (m = 1-3; R1 = H, halo; A = CONH; Ar = Q1, Q2; X = O, CO, (CH2)1-6, S, SO, SO2, etc.; 1 of R2, R3 = halo, cyano, NO2, amino, OH, (substituted) alkyl, cycloalkyl, alkoxy, etc., the other = H, halo; R4 = 3-9 membered (unsatd.) (substituted) heterocyclyl containing 1-2 N atoms, substituted 3-8 membered carbocyclyl], were prepared Thus, 3-chloro-2-nitro-N-[tricyclo[3.3.1.13,7]dec-1-ylmethyl]benzamide (preparation given) and tert-Bu piperazine-1-carboxylate were heated at 120° in Me2SO for 24 h to give the coupling product, which was stirred with HCl in THF/dioxane to give 2-nitro-3-piperazin-1-yl-N-[tricyclo[3.3.1.13,7]dec-1-ylmethyl]benzamide. I antagonized P2X7 receptors with pIC50 >4.50.
IT 301672-04-8P 301672-05-9P 301672-06-0P 301672-07-1P 301672-36-6P 301672-43-5P 301672-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyladamantylmethylbenzamides and related compds. as P2X7 receptor antagonists)
RN 301672-04-8 CAPLUS
CN Benzamide, 2-chloro-5-[(4-piperidinylamino)methyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

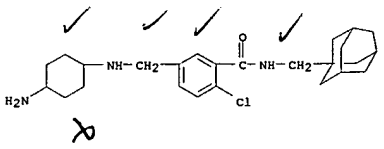
RN 301672-05-9 CAPLUS
CN Benzamide, 5-[[[4-(aminomethyl)cyclohexyl]amino]methyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



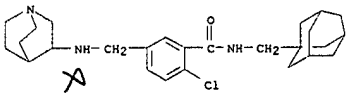
●2 HCl

RN 301672-06-0 CAPLUS
CN Benzamide, 5-[[(4-aminocyclohexyl)amino]methyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



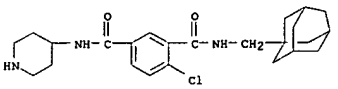
●2 HCl

RN 301672-07-1 CAPLUS
CN Benzamide, 5-[(1-azabicyclo[2.2.2]oct-3-ylamino)methyl]-2-chloro-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)



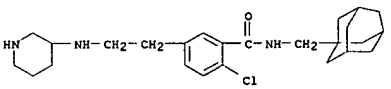
RN 301672-36-6 CAPLUS
CN 1,3-Benzenedicarboxamide, 4-chloro-N1-4-piperidinyl-N3-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



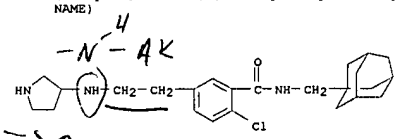
● HCl

RN 301672-43-5 CAPLUS
CN Benzamide, 2-chloro-5-[2-(3-piperidinylamino)ethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 301672-45-7 CAPLUS
CN Benzamide, 2-chloro-5-[2-(3-pyrrolidinylamino)ethyl]-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

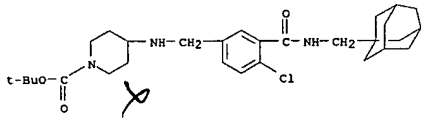


●2 HCl

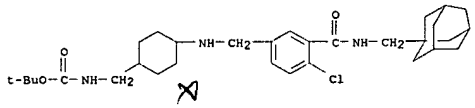
IT **301672-82-2P 301672-83-3P 301672-84-4P 301672-98-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinyladamantylmethylbenzamides and related compds. as

L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
P2X7 receptor antagonists)

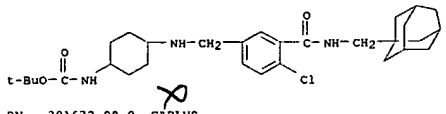
RN 301672-82-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]methyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 301672-83-3 CAPLUS
CN Carbamic acid, [[4-[[[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]methyl]amino]cyclohexyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

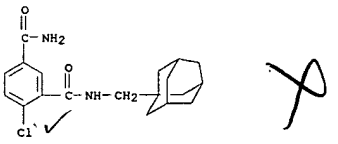


RN 301672-84-4 CAPLUS
CN Carbamic acid, [4-[[[4-chloro-3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]methyl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 301672-98-0 CAPLUS
CN 1,3-Benzenedicarboxamide, 4-chloro-N3-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

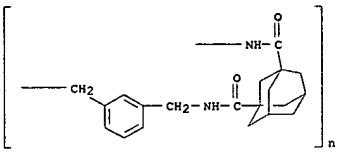
FORMAT

L4 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:646064 CAPLUS
DOCUMENT NUMBER: 133:238521
TITLE: Process for producing polycondensate from polycarboxylic acid and polyamine
INVENTOR(S): Ishihara, Kazuaki; Yamamoto, Hisashi
PATENT ASSIGNEE(S): Japan Science and Technology Corporation, Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053662	A1	20000914	WO 2000-JP1390	20000308
W: CA, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2365582	AA	20000914	CA 2000-2365582	20000308
EP 1167422	A1	20020102	EP 2000-907936	20000308
EP 1167422	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3722699	B2	20051130	JP 2000-603296	20000308
US 6586555	B1	20030701	US 2001-936414	20010912
PRIORITY APPLN. INFO.:			JP 1999-65682 A	19990311
			WO 2000-JP1390 W	20000308

AB A process yields a polyamide, polyimide, or polyamide-imide capable of being easily purified after reaction, especially an aromatic polyamide (aramid), aromatic polyimide, or aromatic polyamide-imide, which is difficult to synthesize by direct polycondensation, is produced in high yield from a polycarboxylic acid and a polyamine by direct polycondensation with heating while inhibiting side reactions, e.g., one accompanied by a color change into black. An aromatic dicarboxylic acid, aromatic tetracarboxylic acid, or aromatic tricarboxylic acid is condensation-polymerized with an aromatic diamine using an arylboric acid, e.g., 3,4,5-trifluorophenylboric acid (I), as a polycondensation catalyst in the presence of either a mixed solvent comprising pentamethylbenzene and N-methylpyrrolidinone or a mixed solvent comprising m-terphenyl and N-butylpyrrolidinone to obtain a polyamide, polyimide, or polyamide-imide in high yield. Refluxing isophthalic acid (0.665 g) and p-phenylenediamine (0.433 g) under Ar in pentamethylbenzene and NMP using I (10 mol%) at 170° for 4 h gave a polyamide with 55% yield.
IT **293309-36-1P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of polyamide and polyimides by direct condensation of polycarboxylic acid and polyamine)
RN 293309-36-1 CAPLUS

L4 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Poly(iminocarbonyltricyclo[3.3.1.13,7]decane-1,3-diylcarbonyliminomethylene-1,3-phenylenemethylene) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

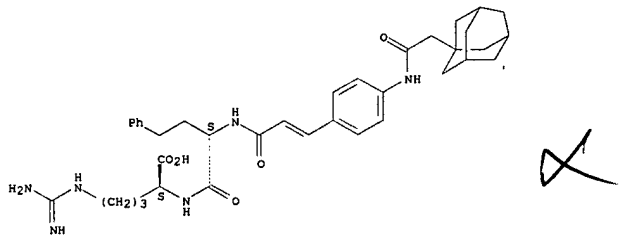
L4 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:144899 CAPLUS
DOCUMENT NUMBER: 132:189658
TITLE: Amino acid derivative and peptide anti-cancer compounds and methods
INVENTOR(S): Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos; York, Eunice; Bunn, Paul
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011022	A1	20000302	WO 1999-US19381	19990820
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6388054	B1	20020514	US 1999-378019	19990819
AU 2000015959	A1	20000314	AU 2000-15959	19990820
US 2002183252	A1	20021205	US 2001-35662	20011228
PRIORITY APPLN. INFO.:			US 1998-97210P P	19980820
			US 1999-141169P P	19990625
			US 1999-378019 A	19990819
			WO 1999-US19381 W	19990820

OTHER SOURCE(S): MARPAT 132:189658
AB The invention provides amino acid derivative and peptidic compds. useful to inhibit tumor growth and to induce apoptosis. In general, the anti-cancer agents (ACA) are described by the formula [ACA]n-X [X = linker group with 2-5 functional groups or is absent; n = 1; ACA as described in the invention (Markush included)].
IT **259883-80-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide and non-peptide anti-cancer compds. and methods)
RN 259883-80-2 CAPLUS
CN L-Arginine, N2-[(2S)-1-oxo-2-[[1-oxo-3-[4-[(tricyclo[3.3.1.13,7]dec-1-ylacetyl)amino]phenyl]-2-propenyl]amino]-4-phenylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

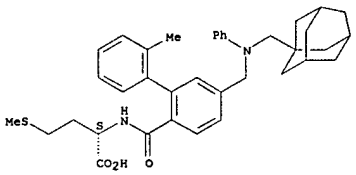
L4 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:744940 CAPLUS
DOCUMENT NUMBER: 130:25338
TITLE: Inhibitors of protein isoprenyl transferases
INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorensen, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce G.; Tasker, Andrew S.; Wasick, James I.; Winn, Martin
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 848 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

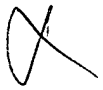
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850029	A1	19981112	WO 1998-US9296	19980507
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2288330	AA	19981112	CA 1998-2288330	19980507
AU 9874733	A1	19981127	AU 1998-74733	19980507
EP 986384	A1	20000322	EP 1998-922122	19980507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518985	T2	20020625	JP 1998-548480	19980507
TW 492955	B	20020701	TW 1998-87107182	19980715
TW 541302	B	20030711	TW 1998-87107183	19980715
MX 9910186	A	20000630	MX 1999-10186	19991105
PRIORITY APPLN. INFO.:			US 1997-852858 A	19970507
			WO 1998-US9296 W	19980507

OTHER SOURCE(S): MARPAT 130:25338
AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is absent or is L4NR5L5, L4OL5, L4S(O)mL5 (m = 0-2), etc., where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(O)q (q = 0-2), NH or imino; R3 = H, aryl, fluorenyl, heterocyclyl, cycloalkyl, etc.] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepared via amidation reaction, showed 92% inhibition of farnesyl transferase at 1x10-6 M.

L4 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT **216230-30-7P 216230-31-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of inhibitors of protein isoprenyl transferases)
RN 216230-30-7 CAPLUS
CN L-Methionine, N-[[2'-methyl-5-[[phenyl(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

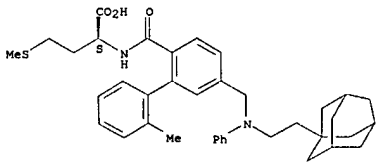
Absolute stereochemistry.





RN 216230-31-8 CAPLUS
CN L-Methionine, N-[[2'-methyl-5-[[phenyl(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

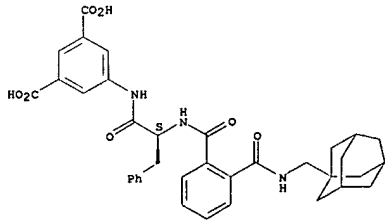
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:171795 CAPLUS
DOCUMENT NUMBER: 124:232062
TITLE: Preparation of amide group-containing cholecystokinin and gastrin receptor antagonists
INVENTOR(S): Kalindjian, Sarkis Barret; Buck, Ildiko Maria; Dunstone, David John; Steel, Katherine Isobel Mary
PATENT ASSIGNEE(S): James Black Foundation Ltd., UK
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530647	A1	19951116	WO 1995-GB997	19950502
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9523171	A1	19951129	AU 1995-23171	19950502
GB 2303369	A1	19970219	GB 1996-23674	19950502
GB 2303369	B2	19980527		
ZA 9503739	A	19961111	ZA 1995-3739	19950509
US 5939437	A	19990817	US 1996-737317	19961220
PRIORITY APPLN. INFO.:			GB 1994-9150 A	19940509
			WO 1995-GB997 W	19950502

OTHER SOURCE(S): MARPAT 124:232062
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; Ar = (un)substituted monocyclic aromatic group; R1 = halogen, amino, nitro, cyano, sulfamoyl, sulfonyl, CF3, alkyl, alkylamino, dialkylamino, (un)substituted Ph, etc.; m = 0-4, provided that m is not more than 2 unless R1 is halogen; x + y = 0 or 1; R2, R4 = H, alkyl, etc.; R3 = H, (un)substituted C1-15 hydrocarbyl; R5 = H, C1-3 alkyl; U = (un)substituted aryl, (un)substituted heterocyclic, substituted heterocyclic, cycloalkyl; Z = (un)substituted heterocyclo, (un)substituted (phenylalkyl)amino or phenylamino], useful as cholecystokinin and gastrin receptor antagonists, are prepared Thus, [1S-(3,5-dicarboxyphenylaminocarbonyl)-2-phenylethylaminocarbonyl]-2-(1-adamantanemethylaminocarbonyl)benzene di-N-methyl-D-glucamine salt, prepared in 8 steps from 5-nitroisophthalic acid, demonstrated a CCKB receptor pKi of 7.1.
IT **174604-01-4P 174604-02-5P 174604-03-6P 174604-04-7P 174604-06-9P 174604-07-0P 174604-22-9P 174604-29-6P 174604-32-1P 174604-35-4P 174604-36-5P 174604-37-6P 174604-38-7P 174604-39-8P 174604-40-1P**

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
174604-41-2P 174604-42-3P 174604-43-4P 174604-44-5P 174604-45-6P 174604-46-7P 174604-47-8P 174604-48-9P 174604-49-0P 174604-50-3P 174604-51-4P 174604-56-9P 174604-57-0P 174604-58-1P 174604-59-2P 174604-60-5P 174604-61-6P 174604-62-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amide group-contg. cholecystokinin and gastrin receptor antagonists)
RN 174604-01-4 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(2S)-1-oxo-3-phenyl-2-[[2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)

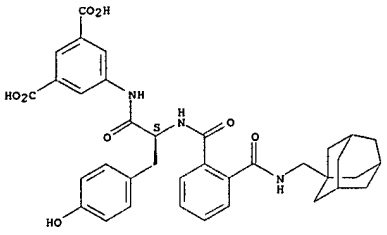
Absolute stereochemistry.



RN 174604-02-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[3-(4-hydroxyphenyl)-1-oxo-2-[[2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

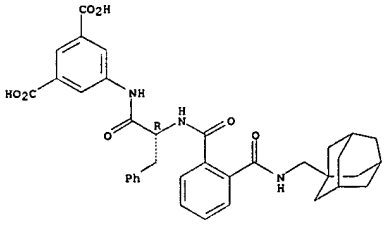
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 174604-03-6 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[1-oxo-3-phenyl-2-[[2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



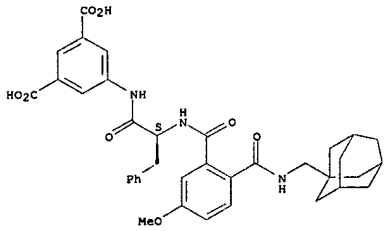
RN 174604-04-7 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[3-(2-fluorophenyl)-1-oxo-2-[[2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CRN 174604-06-9
CMF C37 H39 N3 O8

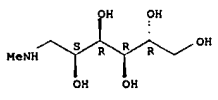
Absolute stereochemistry.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.

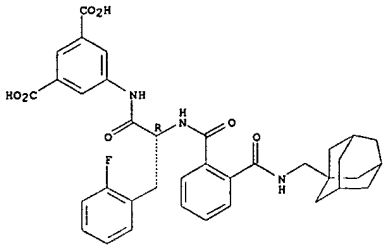


RN 174604-22-9 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[1-oxo-3-phenyl-2-[[[2-

[[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]phenyl]acetyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

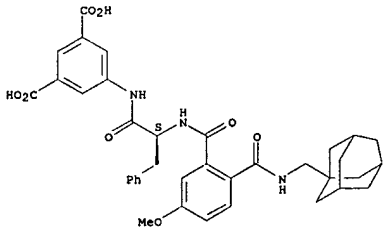
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 174604-06-9 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[5-methoxy-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

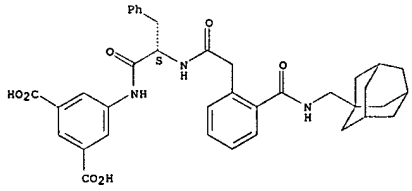


RN 174604-07-0 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[5-methoxy-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



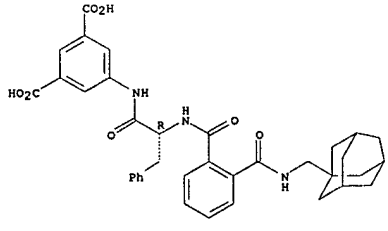
RN 174604-29-6 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (R)-5-[[1-oxo-3-phenyl-2-[[2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-03-6
CMF C36 H37 N3 O7

Absolute stereochemistry.

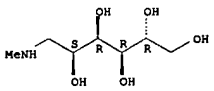


CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

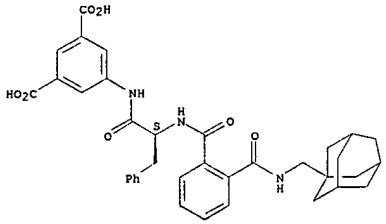


RN 174604-32-1 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[1-oxo-3-phenyl-2-[[2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-01-4
CMF C36 H37 N3 O7

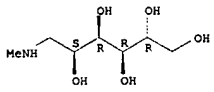
Absolute stereochemistry.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

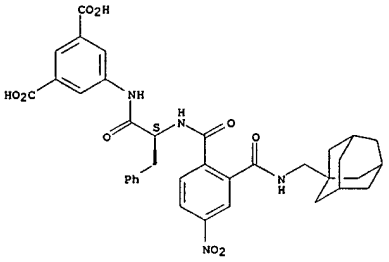
Absolute stereochemistry.



L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

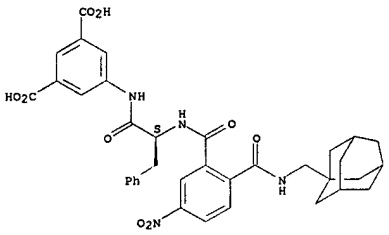
RN 174604-35-4 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-nitro-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174604-36-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[5-nitro-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

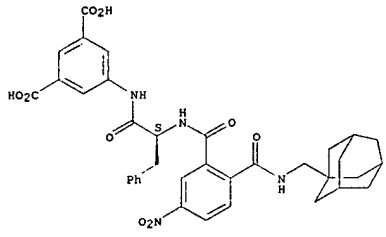


L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 174604-37-6 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[4-nitro-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-36-5
CMF C36 H36 N4 O9

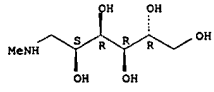
Absolute stereochemistry.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

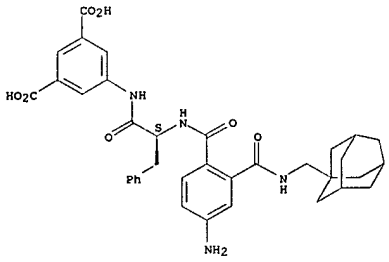
Absolute stereochemistry.



RN 174604-38-7 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-amino-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

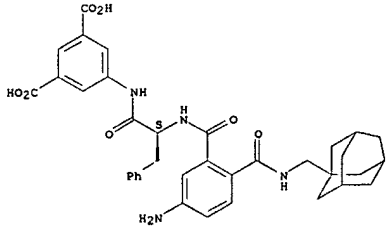
Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 174604-39-8 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[5-amino-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

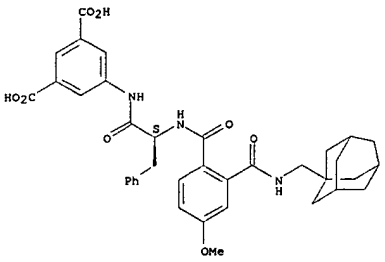
Absolute stereochemistry.



RN 174604-40-1 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-methoxy-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

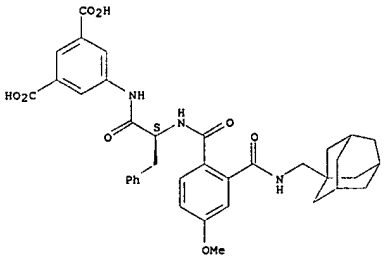


RN 174604-41-2 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[4-methoxy-2-[[(tricyclo[3.3.1.13,7]dec-1-yl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

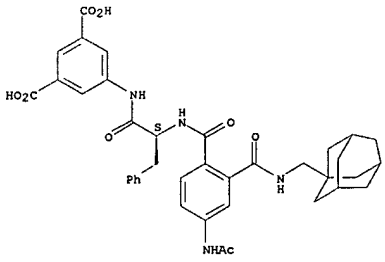
CRN 174604-40-1
CMF C37 H39 N3 O8

Absolute stereochemistry.



L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

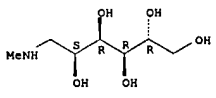
Absolute stereochemistry.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



RN 174604-44-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-(acetyloxy)-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

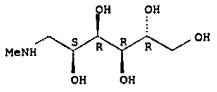
Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

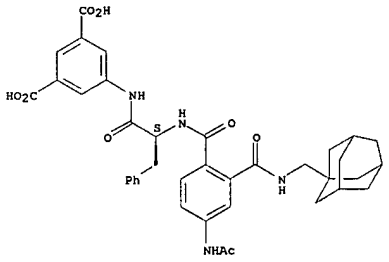
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



RN 174604-42-3 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-(acetylamino)-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

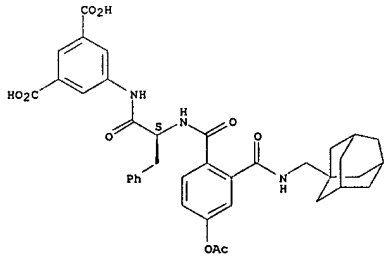


RN 174604-43-4 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[4-(acetylamino)-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-42-3
CMF C38 H40 N4 O8

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

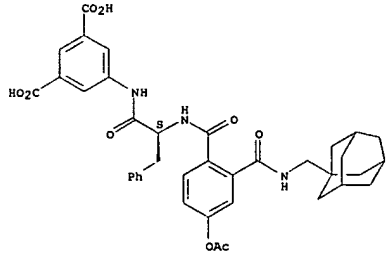


RN 174604-45-6 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[4-(acetyloxy)-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-44-5
CMF C38 H39 N3 O9

Absolute stereochemistry.

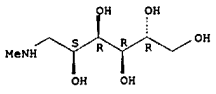


CM 2

CRN 6284-40-8

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C7 H17 N O5

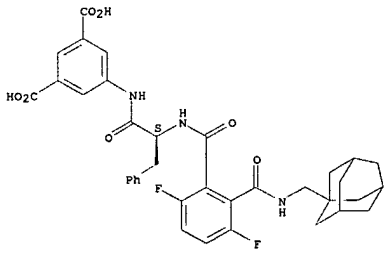
Absolute stereochemistry.



RN 174604-46-7 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[3,6-difluoro-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174604-47-8 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[3,6-difluoro-2-

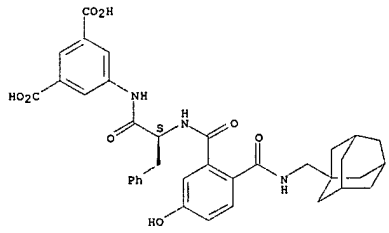
[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-46-7
CMF C36 H35 F2 N3 O7

Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



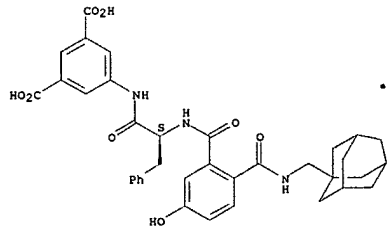
RN 174604-49-0 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[2-[[5-hydroxy-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-48-9
CMF C36 H37 N3 O8

Absolute stereochemistry.

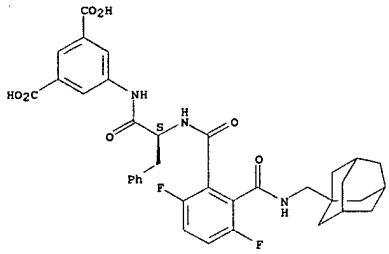


CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.

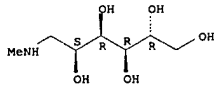
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.

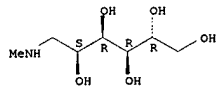


RN 174604-48-9 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[5-hydroxy-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

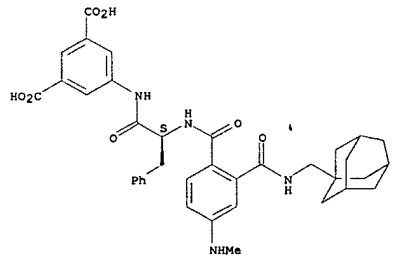
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 174604-50-3 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-(methylamino)-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

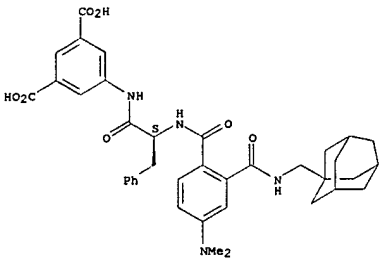


RN 174604-51-4 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-(dimethylamino)-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

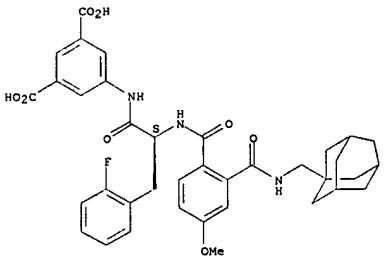
Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



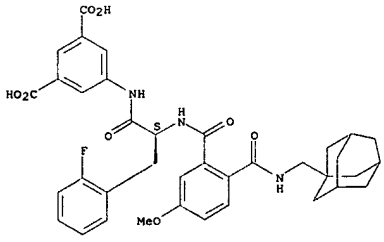
RN 174604-56-9 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[3-(2-fluorophenyl)-2-[[4-methoxy-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174604-57-0 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[3-(2-fluorophenyl)-2-[[4-methoxy-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxopropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

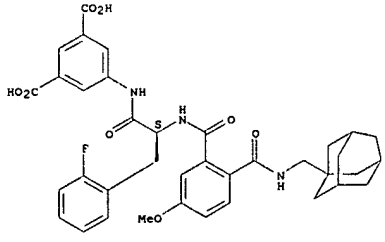


RN 174604-59-2 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[3-(2-fluorophenyl)-2-[[5-methoxy-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxopropyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-58-1
CMF C37 H38 F N3 O8

Absolute stereochemistry.



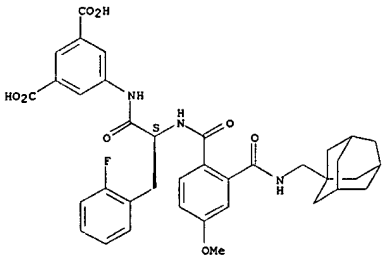
CM 2

CRN 6284-40-8
CMF C7 H17 N O5

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CM 1

CRN 174604-56-9
CMF C37 H38 F N3 O8

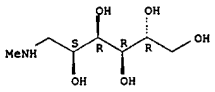
Absolute stereochemistry.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

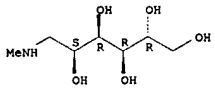
Absolute stereochemistry.



RN 174604-58-1 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[3-(2-fluorophenyl)-2-[[5-methoxy-2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

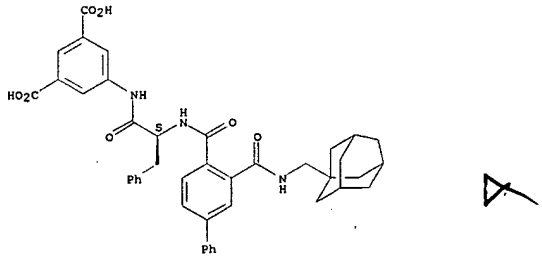
Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 174604-60-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[1-oxo-3-phenyl-2-[[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



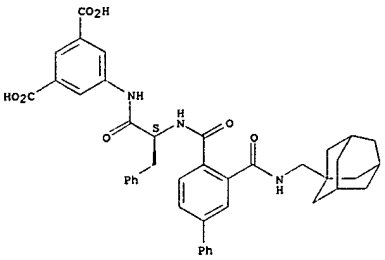
RN 174604-61-6 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[1-oxo-3-phenyl-2-[[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]carbonyl]amino]propyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-60-5
CMF C42 H41 N3 O7

Absolute stereochemistry.

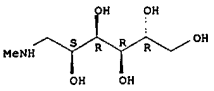
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



RN 174604-62-7 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-,
(S)-5-[[3-(4-hydroxyphenyl)-1-oxo-2-

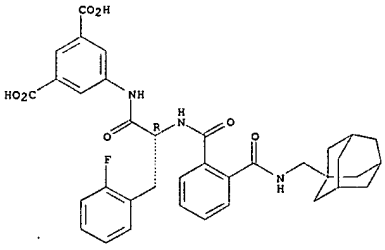
[[2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]pro
pyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-02-5
CMF C36 H37 N3 O8

Absolute stereochemistry.

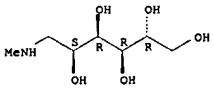
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.

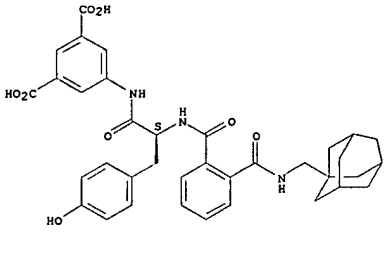


IT **174604-10-5P 174604-14-9P 174604-15-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amide group-containing cholecystokinin and gastrin receptor
antagonists)
RN 174604-10-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[1-oxo-3-phenyl-2-[[2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]propyl]
amino]-, bis(phenylmethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

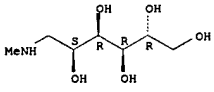
L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



IT **174604-05-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amide group-containing cholecystokinin and gastrin receptor
antagonists)
RN 174604-05-8 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (R)-5-[[3-(2-fluorophenyl)-1-oxo-2-

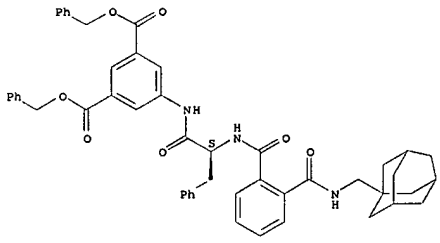
[[2-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]pro
pyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174604-04-7
CMF C36 H36 F N3 O7

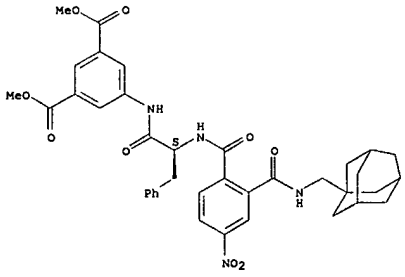
Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 174604-14-9 CAPLUS
CN 1,3-Benzenedicarboxylic acid,
5-[[2-[[4-nitro-2-[[(tricyclo[3.3.1.13,7]dec-
1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-3-phenylpropyl]amino]-,
dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

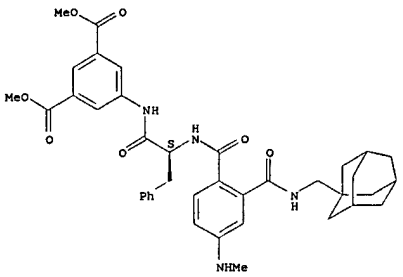


RN 174604-15-0 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[4-(methylamino)-2-

[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]benzoyl]amino]-1-oxo-
3-phenylpropyl]amino]-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:794874 CAPLUS
DOCUMENT NUMBER: 123:285807
TITLE: Preparation of heterocyclic compounds as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

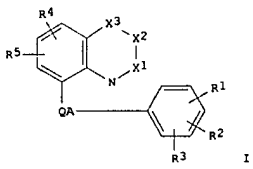
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 622361	A1	19941102	EP 1994-106486	19940426
EP 622361	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9460525	A1	19941103	AU 1994-60525	19940419
AU 680870	B2	19970814		
ZA 9402780	A	19950109	ZA 1994-2780	19940421
IL 109395	A1	19980924	IL 1994-109395	19940422
RU 2135478	C1	19990827	RU 1994-13439	19940422
CA 2122236	AA	19941029	CA 1994-2122236	19940426
JP 07002780	A2	19950106	JP 1994-88897	19940426
JP 3346437	B2	20021118		
US 5563162	A	19961008	US 1994-233771	19940426
AT 206412	E	20011015	AT 1994-106486	19940426
ES 2161231	T3	20011201	ES 1994-106486	19940426
PT 622361	T	20020328	PT 1994-106486	19940426
CN 1097417	A	19950118	CN 1994-105013	19940427
CN 1043344	B	19990512		
HU 70493	A2	19951030	HU 1994-1221	19940427
TW 381081	B	20000201	TW 1994-83103786	19940427
US 5708173	A	19980113	US 1996-660393	19960607
US 5922711	A	19990713	US 1997-933354	19970919
US 6169095	B1	20010102	US 1999-228973	19990112

PRIORITY APPLN. INFO.:

GB 1993-8804	A	19930428
GB 1993-18929	A	19930913
US 1994-233771	A3	19940426
US 1996-660393	A3	19960607
US 1997-933354	A1	19970919

OTHER SOURCE(S): MARPAT 123:285807
GI

L4 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

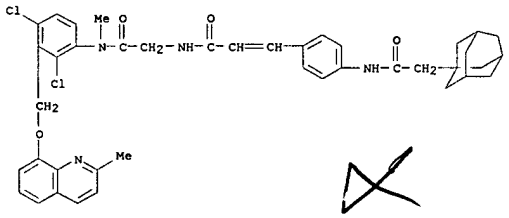


AB Title compds. I (X1 = N, R6C; X2 = N, R7C; X3 = N, R8C wherein R6, R8 = H, halo, alkyl, HO, alkylthio, (substituted)amino, etc., R7 = H, alkyl; R1 = H, halo; R2 = halo; R3 = H, O2N, (substituted)amino, (substituted)heterocyclyl; R4, R5 = H, halo; A = alkylene; Q = O, R9N wherein R9 = H, acyl) or a salt thereof, are prepared To 8[2,6-dichloro-3-[N-methyl-N-[N'-(3-nitrophenyl)ureidoacetyl]amino]benzyloxy]-2-methylquinoline was added SnCl2 to give 8-[3-[N-[N'-(3-aminophenyl)ureidoacetyl]-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylquinoline. A similar prepared compound 8-[2,6-dichloro-3-[N-methyl-N-[N'-[3-[N-methyl-N-(3-pyridyl)carbamoyl]phenyl]ureidoacetyl]amino]benzyloxy]-2-methylquinoline at 1 + 10-6M showed 100% inhibition of 3H-bradykinin binding to ileum membrane.

IT **167840-58-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as bradykinin antagonists.)

RN 167840-58-6 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-acetamide, N-[4-[3-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]phenyl]- (9CI) (CA INDEX NAME)

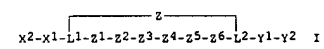
L4 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



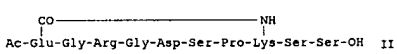
L4 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:234483 CAPLUS
DOCUMENT NUMBER: 118:234483
TITLE: Preparation of cyclic peptides as cell adhesion modulators
INVENTOR(S): Lobl, Thomas J.; Chiang, Shiu Lan; Cardarelli, Pina M.
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9200995	A1	19920123	WO 1991-US4862	19910709
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5192746	A	19930309	US 1990-550330	19900709
CA 2087021	AA	19920110	CA 1991-2087021	19910709
EP 538399	A1	19930428	EP 1991-914755	19910709
R: DE, FR, GB				
JP 05508860	T2	19931209	JP 1991-513631	19910709
SG 72615	A1	20000523	SG 1996-1930	19910709
US 5721210	A	19980224	US 1995-485019	19950607
PRIORITY APPLN. INFO.:			US 1990-550330	A2 19900709
			WO 1991-US4862	W 19910709
			US 1993-961889	B3 19930604

OTHER SOURCE(S): MARPAT 118:234483
GI



I

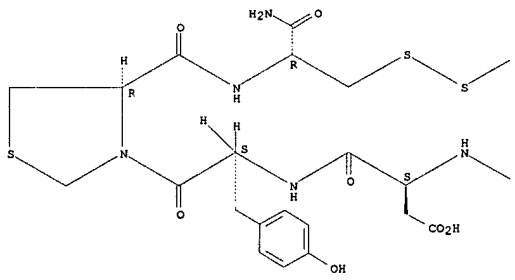


II

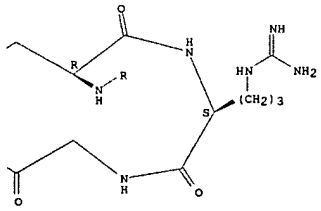
AB Cyclic peptides I [L1, L2 or L1L2 = amino acid residue, analog, or mimetic having a functional group suitable for forming a cyclizing bridge between L1 and L2; Z = cyclizing moiety or bond; Z1 = bond, Leu, Tyr, Phe, Ile, Pro, etc.; Z2 = Arg, homoArg, norArg, etc.; Z3 = Gly, Sar; Z4 = Asp, Glu, esters of Asp, Glu; Z5 = bond, Ser, Thr, Tyr, Trp, Ala, Val, Phe, etc.; Z6 = bond, Pro, 3-thioprolyl, Phe, etc.; X1, Y1 = bond, 1-4 D- or L-amino acid or amino acid analog residues; X2 = optional Nα substituent R1

L4 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A



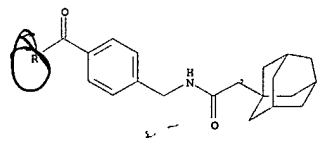
PAGE 2-B



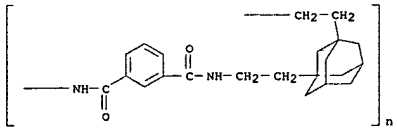
L4 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
or R1CO; Y2 = optional C-terminal substituent OH, OR1, NH2, NHR1, NR1R1, NHNH2, SR1; R1 = H, (substituted) C1-8 alkyl, -C2-8 alkenyl, -C2-8 alkynyl, -C6-14 aryl, -C7-14 aralkyl, etc.; NR1R1 = 5-8 membered heterocyclyl which may contain other O, N, S atoms] were prepd. Thus, II was synthesized via solid phase methods starting with PAM resin-bound BOC-Ser(Bzl)-OCH2 and the appropriate BOC-protected amino acids. The resin-bound peptide was capped, cyclized, cleaved from the resin and deprotected to give II. II inhibited U937 fibronectin with IC50 of 171 μM.
IT 141768-26-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cell adhesion inhibitor)
RN 141768-26-5 CAPLUS
CN L-Cysteinamide, N-[4-[[(tricyclo[3.3.1.13,7]dec-1-ylacetyl)amino]methyl]benzoyl]-L-cysteinyl-L-arginylglycyl-L-α-aspartyl-L-tyrosyl-L-4-thiazolidinecarbonyl-, cyclic (1→7)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

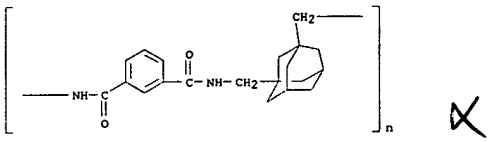


L4 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:523390 CAPLUS
DOCUMENT NUMBER: 99:123390
TITLE: Synthesis and properties of polyamides from alicyclic diamines and aromatic dicarboxylic acids
AUTHOR(S): Khardin, A. P.; Novakov, I. A.; Radchenko, S. S.; Brel, N. A.; Kuznechikov, O. A.; Vygodskii, Ya. S.
CORPORATE SOURCE: Inst. Elementoorg. Soedin. im. Nesmevanova, Moscow, USSR
SOURCE: Vysokomolekulyarnye Soedineniya, Seriya B: Kratkie Soobshcheniya (1983), 25(6), 433-6
CODEN: VYSBAI; ISSN: 0507-5483
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Polyamides were prepared by high-temperature polymerization in various solvents (N-methylpyrrolidone, tricresol, Ph2SO2) of 1,3-bis(aminomethyl)adamantane (I), 1,3-bis(2-aminoethyl)adamantane (II), 1,3-bis(aminomethyl)cyclohexane (III), or bis(4-aminocyclohexyl)methane (IV) with isophthalic acid (V) or 4,4'-phthalid-3-ylidenedibenzoic acid (VI). The reduced viscosity of the polyamides was little effected by solvent type. The polyamides had high thermal and dimensional stability. Softening points were highest and lowest for I-VI polymer [87111-71-5] and II-V polymer [87078-91-9], resp. Weight loss at 370° in air was highest and lowest for I-V polymer [87078-90-8] and III-VI polymer [87078-93-1], resp. Hydrolytic stability of the polyamides was determined in 10% KOH, 10% H2SO4, and 18% HCl. HCl was most active and IV-V polymer [26969-54-0] was most stable.
IT 87078-67-9P 87078-68-0P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(preparation and properties of)
RN 87078-67-9 CAPLUS
CN Poly(iminocarbonyl-1,3-phenylenecarbonylimino-1,2-ethanediyltricyclo[3.3.1.13,7]decane-1,3-diyl-1,2-ethanediyl) (9CI) (CA INDEX NAME)



RN 87078-68-0 CAPLUS
CN Poly(iminocarbonyl-1,3-phenylenecarbonyliminomethylenetricyclo[3.3.1.13,7]decane-1,3-diylmethylene) (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1979:46549 CAPLUS
DOCUMENT NUMBER: 90:46549
TITLE: Color photographic material
INVENTOR(S): Hagen, Remon; Fryberg, Mario
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 90 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2757380	A1	19780629	DE 1977-2757380	19771222
DE 2757380	C2	19820902		
CH 628161	A	19820215	CH 1976-16310	19761224
CA 1080730	A1	19800701	CA 1977-293146	19771215
GB 1574222	A	19800903	GB 1977-52857	19771220
JP 53082332	A2	19780720	JP 1977-153032	19771221
JP 54036856	B4	19791112		
FR 2375626	A1	19780721	FR 1977-38887	19771222
FR 2375626	B1	19811120		
BE 862326	A1	19780627	BE 1977-183845	19771227

PRIORITY APPLN. INFO.: CH 1976-16310 A 19761224

GI

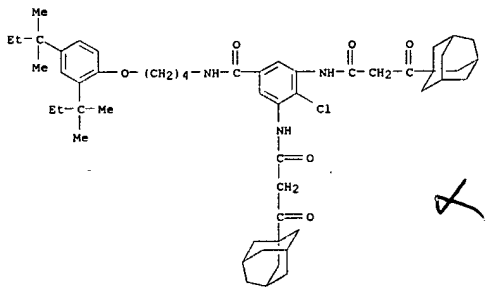
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Yellow couplers of the formula I (R,R1 = alkyl, cycloalkyl, or aryl; R2,R3 = groups cleavable during a coupling reaction; R4 = halo, alkoxy, alkylmercapto, CN, CO2H, carbalkoxy, NH2, NHR6, NR6R7, or NHCOR6 where R6 and R7 = alkyl or Ph; R5 = C5-40 alkyl, C5-50 alkoxy, C5-12 cycloalkoxy, aralkyl, alkoxyalkyl, alkoxycycloalkyl, cycloalkoxyalkyl, phenoxyalkyl alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diarylaminoalkyl, alkylmercaptoalkyl, arylmercaptoalkyl, CO2R8, COR8, NR8R9, CONR8R9, NR9COR8, SO2R8, SO2NR8R9, or NR8SO2R8 where R8 = C1-40 alkyl, C5-12 cycloalkyl, substituted Ph and R9 = H or C1-12 alkyl) give dye images having good lightfastness and moisture resistance, which are stable over long periods of storage. Thus, a coupler dispersion was prepared by addition of 6% aqueous gelatin 6.6, water 1.2, and 8% aqueous Na isopropylnaphthalenesulfonate 2.0 mL to a solution composed of II 0.05 mmol and tricresyl phosphate-CH2CL2 (1:9) mL. This solution 2.5, a gelatin-AgBr emulsion 1.6, a 1% aqueous solution of a triazine-type hardener 1.0, and water 5.0 mL were mixed, coated on a glass plate, dried, exposed, and processed to give an image with a λmax of 443 and a Dmax of 1.46 vs. 440 and 0.21, resp., for a control contg. III.

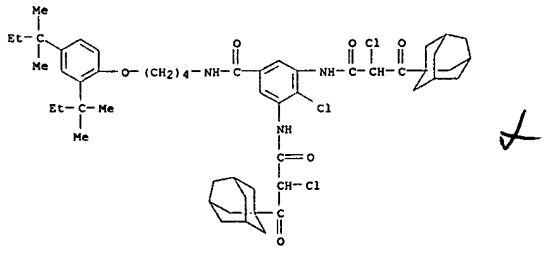
L4 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **68588-65-8P 68599-33-7P 68599-34-8P**
68599-50-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68588-65-8 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-propanamide, N,N'-[5-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-2-chloro-1,3-phenylene]bis[β-oxo- (9CI) (CA INDEX NAME)

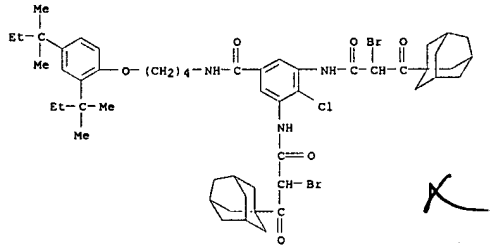


RN 68599-33-7 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-propanamide, N,N'-[5-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-2-chloro-1,3-phenylene]bis[α-chloro-β-oxo- (9CI) (CA INDEX NAME)

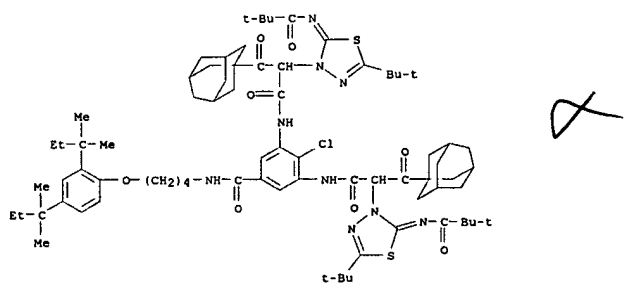


L4 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 68599-34-8 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-propanamide, N,N'-[5-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-2-chloro-1,3-phenylene]bis[α-bromo-β-oxo- (9CI) (CA INDEX NAME)



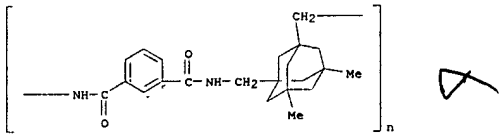
RN 68599-50-8 CAPLUS
CN 1,3,4-Thiadiazole-3(2H)-acetamide, N,N'-[5-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-2-chloro-1,3-phenylene]bis[5-(1,1-dimethylethyl)-2-[(2,2-dimethyl-1-oxopropyl)imino]-α-(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



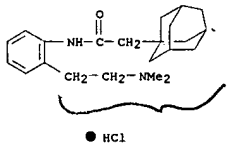
L4 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:44205 CAPLUS
DOCUMENT NUMBER: 86:44205
TITLE: Polyamide polymer of alkyladamantane diamine and cyclic hydrocarbon diacid
INVENTOR(S): Thompson, Robert M.
PATENT ASSIGNEE(S): Sun Ventures, Inc., USA
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3991038	A	19761109	US 1975-583815	19750603
US 3832332	A	19740827	US 1971-191833	19711022
PRIORITY APPLN. INFO.:			US 1971-191833	A3 19711022
			US 1974-440887	A2 19740208

AB Isophthalic acid (I) and 1,3-bis(aminomethyl)-5,7-dimethyladamantane (II) are polycondensed to give a transparent polyamide (III) [61435-81-2]. Thus, a salt from 7 g I and 9.1 g II was heated 1.5 h at 220°, cooled, crashed, heated 3 h at 280°, and evacuated 1 h at 280° to give III having softening temperature 240° and inherent viscosity 0.82 (m-cresol).
IT **61435-77-6P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of)
RN 61435-77-6 CAPLUS
CN Poly[iminocarbonyl-1,3-phenylenecarbonyliminomethylene(5,7-dimethyltricyclo[3.3.1.13,7]decane-1,3-diyl)methylene] (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:23888 CAPLUS
DOCUMENT NUMBER: 78:23888
TITLE: Adamantyl analogs of 2'-(3-dimethylaminopropylthio)cinnamanilide
AUTHOR(S): Narayanan, V. L.
CORPORATE SOURCE: Squibb Inst. Med. Res., New Brunswick, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1972), 15(11), 1180-2
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Adamantyl analogs of cinanserin [2'-[[3-(dimethylamino)propyl]thio]cinnamanilide] such as 2'-[3-(dimethylamino)propoxy]-1-adamantaneacrylanilide-HCl (I-HCl) [37169-01-0] showed less immunosuppressive activity than did cinanserin. The compds. were given at 25 mg/kg s.c. to mice immunized with sheep red blood cells (H. C. Nathan, et al., 1961). The compds. were prepared by conversion of 1-admantaneacrylic acid to the acid chloride and condensation with the appropriate 2-substituted aniline.
IT **40069-00-9**
RL: BIOL (Biological study)
(immunosuppressant)
RN 40069-00-9 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-acetamide, N-[2-[2-(dimethylamino)ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



$-R^4-X-R^5$

$R^4 = CH_2CH_2$

$X = NR^{13}$ $R^{13} = Me$

$R^5 \neq Me$

X { positional isomer + H ↷ Me